

10/608,333

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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	JAN 26	CA/CAPLUS - Expanded patent coverage to include the Russian Agency for Patents and Trademarks (ROSPATENT)
NEWS	18	FEB 10	STN Patent Forums to be held in March 2005
NEWS EXPRESS			JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information
NEWS LOGIN			Welcome Banner and News Items
NEWS PHONE			Direct Dial and Telecommunication Network Access to STN
NEWS WWW			CAS World Wide Web Site (general information)

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 12:26:22 ON 14 FEB 2005

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:26:30 ON 14 FEB 2005

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STRUCTURE FILE UPDATES: 13 FEB 2005 HIGHEST RN 830317-64-1

DICTIONARY FILE UPDATES: 13 FEB 2005 HIGHEST RN 830317-64-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

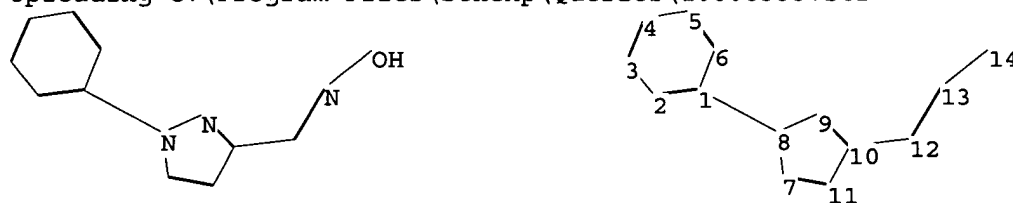
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10608333.str



chain nodes :

12 13 14

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

1-8 10-12 12-13 13-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

1-8 7-8 8-9 9-10 12-13 13-14

exact bonds :

7-11 10-11 10-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1 : 7 :

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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 12:26:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS
SEARCH TIME: 00.00.01

5 ANSWERS

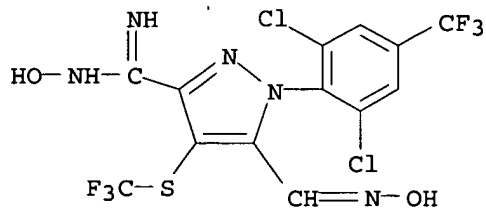
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 257 TO 903
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> d scan

L2 5 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-
N-hydroxy-5-[(hydroxyimino)methyl]-4-[(trifluoromethyl)thio]- (9CI)
MF C13 H7 Cl2 F6 N5 O2 S

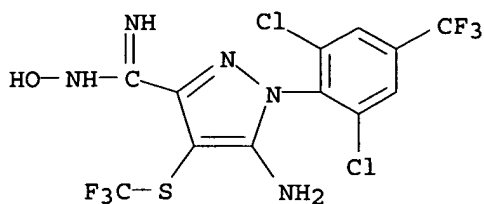


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

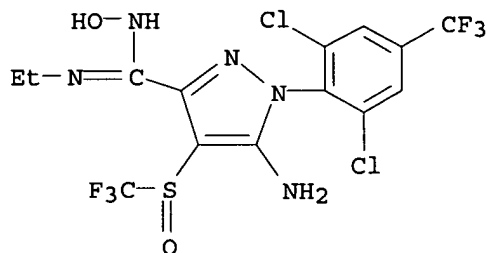
L2 5 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)thio]- (9CI)
MF C12 H7 Cl2 F6 N5 O S

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 5 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N'-hydroxy-4-[(trifluoromethyl)sulfinyl]-
(9CI)
MF C14 H11 Cl2 F6 N5 O2 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 ful
FULL SEARCH INITIATED 12:27:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 498 TO ITERATE

100.0% PROCESSED 498 ITERATIONS 91 ANSWERS
SEARCH TIME: 00.00.01

L3 91 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

	SINCE FILE ENTRY	TOTAL SESSION
	161.76	161.97

FILE 'CAPLUS' ENTERED AT 12:27:33 ON 14 FEB 2005
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FILE COVERS 1907 - 14 Feb 2005 VOL 142 ISS 8
FILE LAST UPDATED: 13 Feb 2005 (20050213/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 25 L3

=> d l4 ibib hitstr abs 1-25

L4 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:756697 CAPLUS

DOCUMENT NUMBER: 141:260772

TITLE: Preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compositions for treatment of cancer

INVENTOR(S): Le-Brun, Alain; Thompson, Fabienne; Tiraboschi, Gilles; Mailliet, Patrick; Salvino, Joseph M.

PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.

SOURCE: PCT Int. Appl., 197 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078732	A1	20040916	WO 2004-FR168	20040126
WO 2004078732	B1	20041028		
W:	AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
FR 2850379	A1	20040730	FR 2003-894	20030128
PRIORITY APPLN. INFO.:			FR 2003-894	A 20030128
			FR 2003-13086	A 20031107

OTHER SOURCE(S): MARPAT 141:260772

IT 756752-75-7P, (5E)-5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-yl]carbonyl]-1-phenyl-1H-pyrazole-3-carboxaldehyde oxime
756752-76-8P, (5Z)-5-[[4-(3,5-Dimethoxyphenyl)piperazin-1-

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yl]carbonyl]-1-phenyl-1H-pyrazole-3-carboxaldehyde oxime

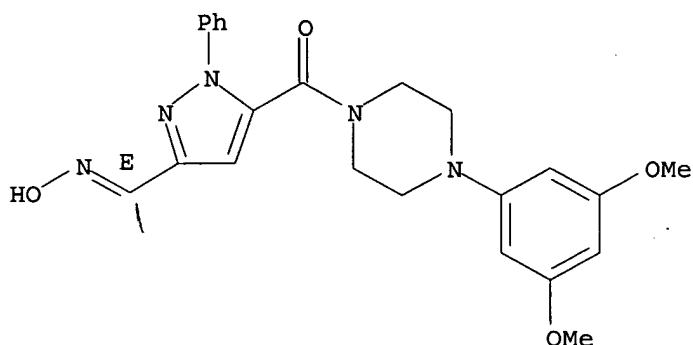
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitor of tubulin polymerization; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

RN 756752-75-7 CAPLUS

CN Piperazine, 1-(3,5-dimethoxyphenyl)-4-[[3-[(E)-(hydroxyimino)methyl]-1-phenyl-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

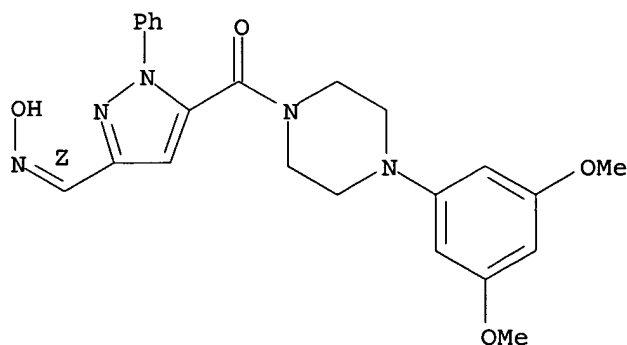
Double bond geometry as shown.



RN 756752-76-8 CAPLUS

CN Piperazine, 1-(3,5-dimethoxyphenyl)-4-[[3-[(Z)-(hydroxyimino)methyl]-1-phenyl-1H-pyrazol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 756752-77-9P

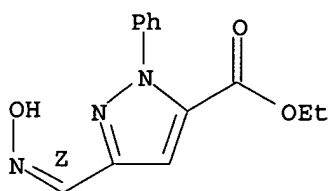
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of N-arylheteroaryls, in particular N-phenylpiperazinyl methanones, as inhibitors of tubulin polymerization and their compns. for treatment of cancer)

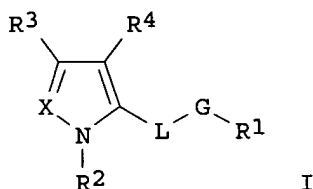
RN 756752-77-9 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 3-[(Z)-(hydroxyimino)methyl]-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

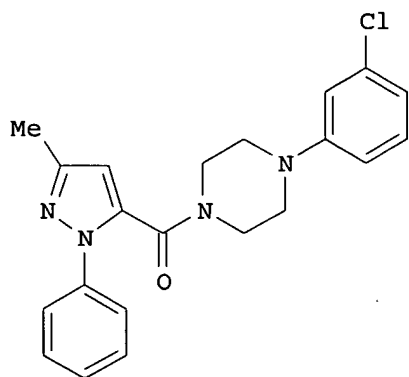
Double bond geometry as shown.



GI



I



II

AB Title compds. I [wherein R1, R2 = independently (un)substituted hetero/aryl; L = CH₂ and derivs., C(:O), C(:S), C:NOH and derivs.; R2 = (C5-C7)cycloalkyl; R3 = independently H, OH and derivs., S(O)nH and derivs., NH₂ and derivs., halo, cycloalkylene, (un)substituted hetero/aryl, cycloalkyl, alkyl, etc.; R4 = H, alk(en/yn)yl, cyclopropyl, alkoxy, S-alkyl, F, Cl, Br; n = 0-2; X = N, CH; G = substituted piperazine, piperidine, 1,2,5,6-tetrahydropyridine; their racemics, stereoisomers, tautomers, prodrugs, and pharmaceutical acceptable salts] were prepared as inhibitors of tubulin polymerization and of tumor and endothelial cell proliferation in vitro, and for use in treatment of cancer. A combinatorial library of N-phenylpiperazinyl pyrazolyl ketones is given. For example, II was prepared from 5-methyl-2-phenyl-2H-pyrazole-3-carboxylic acid and 1-(3-chlorophenyl)piperazine. II gave an IC₅₀ of 0.2 μM for inhibition of tubulin polymerization, an IC₅₀ value of 0.002 μM for inhibition of HCT116 cells proliferation, and a 22% detachment of the endothelial HDMEC cells at a concentration of 1 μM. Thus, I and their pharmaceutical

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compns. are useful for treating cancer (no data).

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252948 CAPLUS

DOCUMENT NUMBER: 140:423618

TITLE: Synthesis and Selective Cyclooxygenase-2 Inhibitory
Activity of a Series of Novel, Nitric Oxide
Donor-Containing Pyrazoles

AUTHOR(S): Ranatunge, Ramani R.; Augustyniak, Michael; Bandarage,
Upul K.; Earl, Richard A.; Ellis, James L.; Garvey,
David S.; Janero, David R.; Letts, L. Gordon; Martino,
Allison M.; Murty, Madhavi G.; Richardson, Stewart K.;
Schroeder, Joseph D.; Shumway, Matthew J.; Tam, S.
William; Trocha, A. Mark; Young, Delano V.

CORPORATE SOURCE: NitroMed Inc., Bedford, MA, 01730, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(9),
2180-2193

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

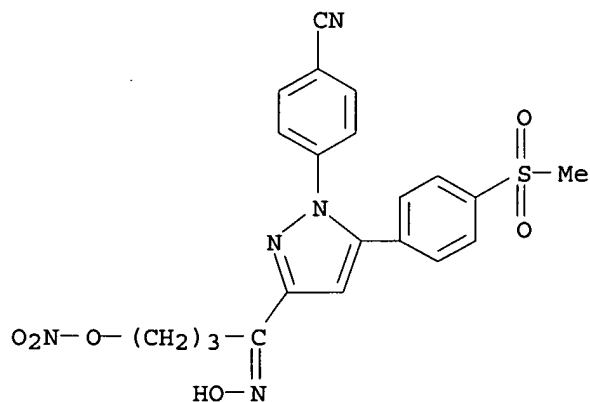
IT 640727-97-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)

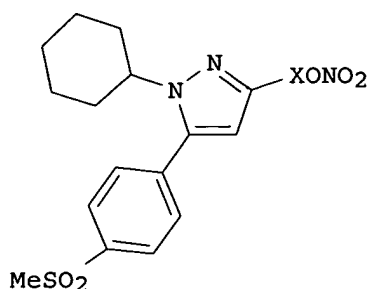
(preparation and selective cyclooxygenase-2 inhibitory activity of nitric
oxide donor-containing pyrazoles)

RN 640727-97-5 CAPLUS

CN Benzonitrile, 4-[3-[1-(hydroxyimino)-4-(nitrooxy)butyl]-5-[4-
(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB The synthesis of a series of novel pyrazoles containing a nitrate (ONO₂) moiety as a nitric oxide (NO)-donor functionality is reported. Their COX-1 and COX-2 inhibitory activities in human whole blood are profiled. The data demonstrate that pyrazole ring substituents play an important role in COX-2 selective inhibition, such that a cycloalkylpyrazole (I, X = CH₂) was found to be a potent and selective COX-2 inhibitor. Other modifications at the 3 position of the central pyrazole ring [I, X = (CH₂)₃, C(:NOH)(CH₂)₃, (Z)-CH:CHCH₂CH₂] enhanced COX-2 inhibitory potency. Among the pyrazoles synthesized, the oxime [I, X = C(:NOH)(CH₂)₃] was identified as the most potent COX-2 selective inhibitor. Accordingly, this compound was profiled pharmacol. in the rat after oral administration and shown to possess potent antiinflammatory activity in the carrageenan-induced air-pouch model and less gastric toxicity than a standard COX-2 inhibitor when administered with background aspirin treatment. The enhanced gastric tolerance of an NO-donor COX-2 selective inhibitor has the potential to augment the clin. profile of this drug class.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:20441 CAPLUS

DOCUMENT NUMBER: 140:77147

TITLE: Preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compositions and methods of use
INVENTOR(S): Garvey, David S.; Ranatunge, Ramani R.; Richardson, Stewart K.

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: PCT Int. Appl., 166 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002420	A2	20040108	WO 2003-US20421	20030630
WO 2004002420	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-392044P

P 20020628

OTHER SOURCE(S):

MARPAT 140:77147

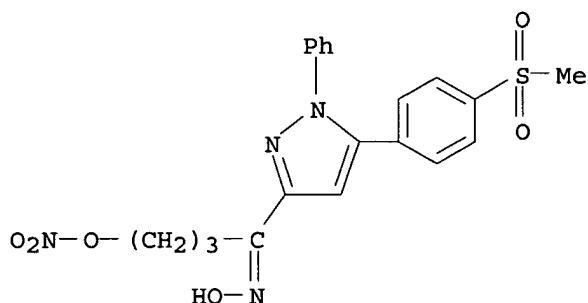
IT 640727-83-9P, 1-[3-[1-(Hydroxyimino)-4-(nitrooxy)butyl]-1-phenylpyrazol-5-yl]-4-(methylsulfonyl)benzene 640727-97-5P,
 4-[3-[1-(Hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]pyrazol-1-yl]benzenecarbonitrile

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compns. and methods of use)

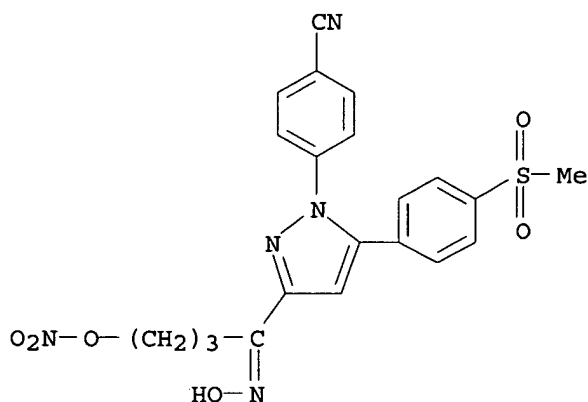
RN 640727-83-9 CAPLUS

CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitrooxy)-, oxime (9CI) (CA INDEX NAME)

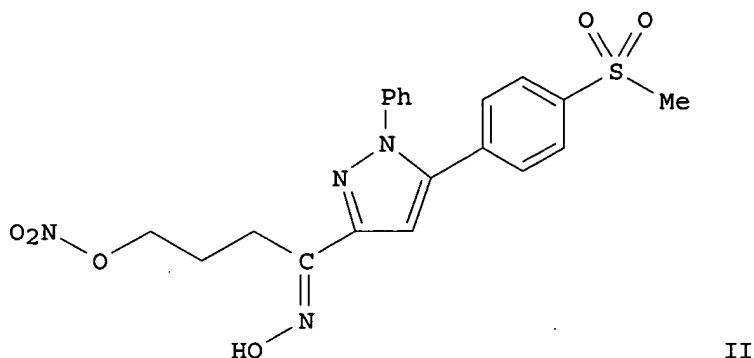
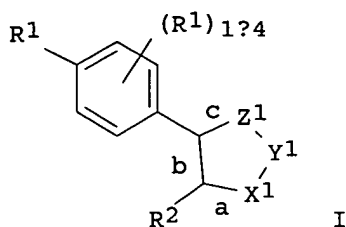


RN 640727-97-5 CAPLUS

CN Benzonitrile, 4-[3-[1-(hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors having at least one oxime group or hydrazone group optionally nitrosated and/or nitrosylated (one class shown as I; variables defined below; e.g. II; 15 other classes of compds. are also described in the 1st claim) and novel compns. and kits comprising at least one I and optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide synthase, and/or at least one therapeutic agent. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors. Six examples of I were tested for inhibition of COX-1 and COX-2; e.g. 1-[1-cyclohexyl-3-[1-(hydroxyimino)-4-(nitrooxy)butyl]pyrazol-4-yl]-4-(methylsulfonyl)benzene inhibited COX-1 10 % at 100 μ M and COX-2 100 % at 10 μ M. Although the methods of preparation are not claimed, 6 example preps. are included. For example, II was prepared in 7 steps (79, 68, 84, 79, 51, 84 and 48 % yields, resp.) starting from di-Me oxalate, NaOMe and 4'-(methylthio)acetophenone in toluene and involving intermediates Me (2Z)-2-hydroxy-4-(4-methylthiophenyl)-4-oxobut-2-enoate, Me 5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxylate, N-methoxy-N-methyl-5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxamide, 1-[5-(4-methylthiophenyl)-1-phenylpyrazol-3-yl]-4-(1,1,2,2-tetramethyl-1-silapropoxy)butan-1-one, 4-hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]butan-1-one, and 1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]-4-(nitrooxy)butan-1-one. For I: when side b is a double bond, and sides a and c are single bonds, -X1-Y1-Z1- is: -CR4(R5)CR5(R5')CR4(R5)-, -C(O)CR4(R4')CR5(R5')-, -CR4(R4')CR5(R5')C(O)-, -[CR5(R5')]KOC(O)-, etc.; when sides a and c are double bonds and side b is a single bond, -X1-Y1-Z1- is: :CR4OCR5:,

:CR4NR3CR5:, :NSCR4:, :CR4SN:, etc. R1 is S(O)2Me, S(O)2NR8(D1), S(O)2N(D1)C(O)CF3, S(O)(NH)NH(D1), S(O)(NH)N(D1)C(O)CF3, P(O)MeNH(D1), P(O)Me2, C(S)NH(D1), S(O)(NH)Me, P(O)MeOD1, or P(O)MeNH(D1); R1' is H, halo, Me, or CH2OH. R2 is lower alkyl, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, mono, di- or trisubstituted heteroaryl (wherein the heteroaryl is a monocyclic aromatic ring of 5 atoms, said ring having one heteroatom which is S, O, or N, and, optionally, 1-3 addnl. N atoms; or the heteroaryl is a monocyclic ring of 6 atoms, said ring having one heteroatom which is N, and, optionally, 1-4 addnl. N atoms), benzoheteroaryl, NR10R11, SR11, OR11, R11, alkenyl, alkynyl, unsubstituted, mono, di, tri- or tetrasubstituted cycloalkenyl, mono, di, tri- or tetrasubstituted heterocycloalkyl group of 5-7 members, or a benzoheterocycle, wherein said heterocycloalkyl or benzoheterocycle contains 1 or 2 heteroatoms selected from O, S, or N and, optionally, contains a carbonyl group or a sulfonyl group, styryl, mono or disubstituted styryl, phenylacetylene, mono- or disubstituted phenylacetylene, fluoroalkenyl, mono- or disubstituted bicyclic heteroaryl of 8-10 members, containing 2-5 heteroatoms (wherein at least one heteroatom resides on each ring of said bicyclic heteroaryl, said heteroatoms are each independently O, S and N), K, aryl, arylalkyl, cycloalkylalkyl, -C(O)R11, hydrogen, arylalkenyl, arylalkoxy, alkoxy, aryloxy, cycloalkoxy, arylthio, alkylthio, arylalkylthio, or cycloalkylthio. R3 is hydrogen, haloalkyl (preferably CF3), CN, lower alkyl, [C(Re)(Rf)]p-U-V, K, (un)substituted lower alkyl-Q, lower alkyl-O-lower alkyl-Q, etc., Q, alkylcarbonyl, arylcarbonyl, alkylarylcarbonyl, arylalkylcarbonyl, carboxylic ester, carboxamido, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, alkenyl, alkynyl, arylalkyl, lower alkyl-OD1, alkoxyalkyl, aminoalkyl, lower alkyl-CO2R10, lower alkyl-C(O)NR10(R10'), heterocyclic alkyl, or heterocyclic ring-C(O)-; with the proviso that one oxime or hydrazone group must be present; addnl. details are given in the claims.

L4 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:20345 CAPLUS

DOCUMENT NUMBER: 140:77144

TITLE: Preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compositions and methods of use
INVENTOR(S): Ranatunge, Ramani R.; Garvey, David S.; Richardson, Stewart K.

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 74 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004006133	A1	20040108	US 2003-608333	20030630
PRIORITY APPLN. INFO.:			US 2002-392044P	P 20020628
OTHER SOURCE(S):	MARPAT 140:77144			

IT 640727-83-9P 640727-97-5P

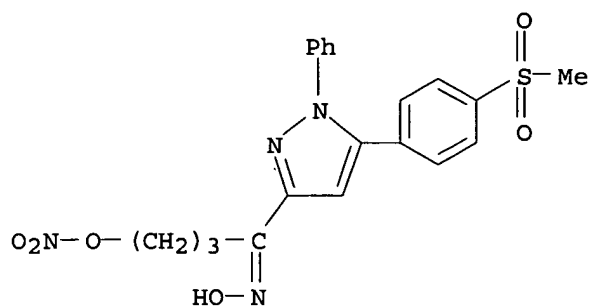
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compns. and methods of use)

RN 640727-83-9 CAPLUS

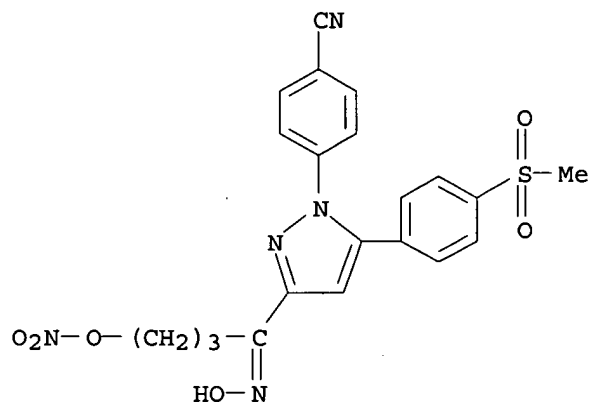
10/608,333

CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitrooxy)-, oxime (9CI) (CA INDEX NAME)

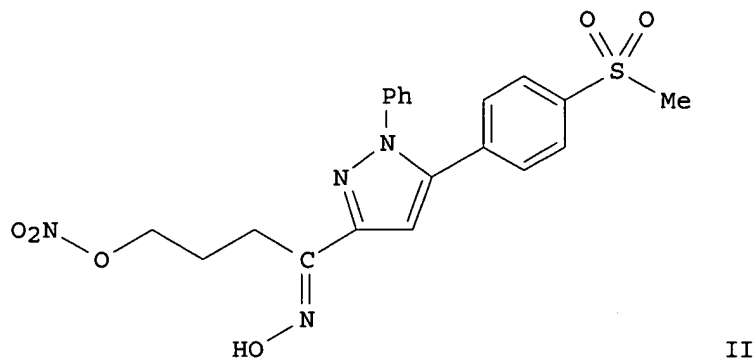
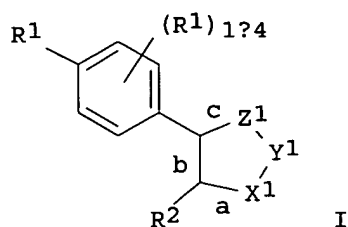


RN 640727-97-5 CAPLUS

CN Benzonitrile, 4-[3-[1-(hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors having at least one oxime group or hydrazone group optionally nitrosated and/or nitrosylated (one class shown as I; variables defined below; e.g. II; 15 other classes of compds. are also described in the 1st claim) and novel compns. and kits comprising at least one I and optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide synthase, and/or at least one therapeutic agent. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors. Six examples of I were tested for inhibition of COX-1 and COX-2; e.g. 1-[1-cyclohexyl-3-[1-(hydroxyimino)-4-(nitrooxy)butyl]pyrazol-4-yl]-4-(methylsulfonyl)benzene inhibited COX-1 10 % at 100 μ M and COX-2 100 % at 10 μ M. Although the methods of preparation are not claimed, 6 example preps. are included. For example, II was prepared in 7 steps (79, 68, 84, 79, 51, 84 and 48 % yields, resp.) starting from di-Me oxalate, NaOMe and 4'-(methylthio)acetophenone in toluene and involving intermediates Me (2Z)-2-hydroxy-4-(4-methylthiophenyl)-4-oxobut-2-enoate, Me 5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxylate, N-methoxy-N-methyl-5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxamide, 1-[5-(4-methylthiophenyl)-1-phenylpyrazol-3-yl]-4-(1,1,2,2-tetramethyl-1-silapropoxy)butan-1-one, 4-hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]butan-1-one, and 1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]-4-(nitrooxy)butan-1-one. For I: when side b is a double bond, and sides a and c are single bonds, -X1-Y1-Z1- is: -CR4(R5)CR5(R5')CR4(R5)-, -C(O)CR4(R4')CR5(R5')-, -CR4(R4')CR5(R5')C(O)-, -[CR5(R5')]KOC(O)-, etc.; when sides a and c are double bonds and side b is a single bond, -X1-Y1-Z1- is: :CR4OCR5:,

:CR4NR3CR5:, :NSCR4:, :CR4SN:, etc. R1 is S(O)2Me, S(O)2NR8(D1), S(O)2N(D1)C(O)CF3, S(O)(NH)NH(D1), S(O)(NH)N(D1)C(O)CF3, P(O)MeNH(D1), P(O)Me2, C(S)NH(D1), S(O)(NH)Me, P(O)MeOD1, or P(O)MeNH(D1); R1' is H, halo, Me, or CH2OH. R2 is lower alkyl, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, mono, di- or trisubstituted heteroaryl (wherein the heteroaryl is a monocyclic aromatic ring of 5 atoms, said ring having one heteroatom which is S, O, or N, and, optionally, 1-3 addnl. N atoms; or the heteroaryl is a monocyclic ring of 6 atoms, said ring having one heteroatom which is N, and, optionally, 1-4 addnl. N atoms), benzoheteroaryl, NR10R11, SR11, OR11, R11, alkenyl, alkynyl, unsubstituted, mono, di, tri- or tetrasubstituted cycloalkenyl, mono, di, tri- or tetrasubstituted heterocycloalkyl group of 5-7 members, or a benzoheterocycle, wherein said heterocycloalkyl or benzoheterocycle contains 1 or 2 heteroatoms selected from O, S, or N and, optionally, contains a carbonyl group or a sulfonyl group, styryl, mono or disubstituted styryl, phenylacetylene, mono- or disubstituted phenylacetylene, fluoroalkenyl, mono- or disubstituted bicyclic heteroaryl of 8-10 members, containing 2-5 heteroatoms (wherein at least one heteroatom resides on each ring of said bicyclic heteroaryl, said heteroatoms are each independently O, S and N), K, aryl, arylalkyl, cycloalkylalkyl, -C(O)R11, hydrogen, arylalkenyl, arylalkoxy, alkoxy, aryloxy, cycloalkoxy, arylthio, alkylthio, arylalkylthio, or cycloalkylthio. R3 is hydrogen, haloalkyl (preferably CF3), CN, lower alkyl, [C(Re)(Rf)]p-U-V, K, (un)substituted lower alkyl-Q, lower alkyl-O-lower alkyl-Q, etc., Q, alkylcarbonyl, arylcarbonyl, alkylarylcarbonyl, arylalkylcarbonyl, carboxylic ester, carboxamido, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, alkenyl, alkynyl, arylalkyl, lower alkyl-OD1, alkoxyalkyl, aminoalkyl, lower alkyl-CO2R10, lower alkyl-C(O)NR10(R10'), heterocyclic alkyl, or heterocyclic ring-C(O)-; with the proviso that one oxime or hydrazone group must be present; addnl. details are given in the claims.

L4 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:836597 CAPLUS

DOCUMENT NUMBER: 139:317464

TITLE: Amidated derivatives of SR141716A having unique CB1 receptor binding selectivity, and methods for their production and therapeutic use

INVENTOR(S): Thomas, Brian F.; Seltzman, Herbert H.; Francisco, Maria Elena Y.

PATENT ASSIGNEE(S): Research Triangle Institute, USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

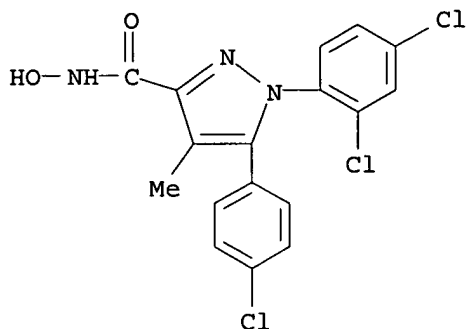
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003199536	A1	20031023	US 2002-121708	20020415
US 6825209	B2	20041130		
WO 2003088968	A1	20031030	WO 2003-US10470	20030414
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				

10/608,333

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1494673 A1 20050112 EP 2003-719602 20030414
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRIORITY APPLN. INFO.: US 2002-121708 A 20020415
WO 2003-US10470 W 20030414
OTHER SOURCE(S): MARPAT 139:317464
IT 443141-84-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(amidated derivs. of SR141716A with unique CB1 receptor binding
selectivity, and methods for production and therapeutic use)
RN 443141-84-2 CAPLUS
CN 1H-Pyrazole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-
hydroxy-4-methyl- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. are provided that are amide analogs of SR141716A having unique CB1 receptor selectivity and providing WIN-sparing binding characteristics. Also provided are pharmaceutical compns. containing the compds. and their use in a method of treatment of CB1 receptor related disorders, e.g. obesity, schizophrenia, memory dysfunction, and marijuana abuse. Compds. of the invention include I [C7-12 (un)branched hydrocarbyl] and II [C7-12 (un)branched hydrocarbyl, N-piperidinyl].

L4 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:372410 CAPLUS

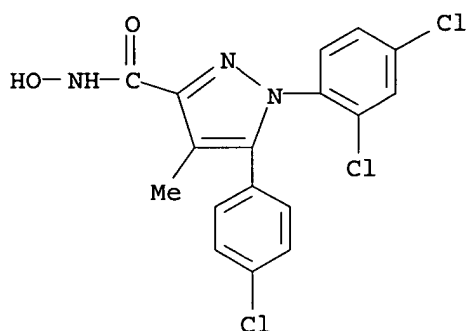
DOCUMENT NUMBER: 137:103401

TITLE: Synthesis and Structure-Activity Relationships of
Amide and Hydrazide Analogues of the Cannabinoid CB1
Receptor Antagonist N-(Piperidinyl)-
5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-
pyrazole-3-carboxamide (SR141716)

AUTHOR(S): Francisco, Ma. Elena Y.; Seltzman, Herbert H.;
Gilliam, Anne F.; Mitchell, Rene A.; Rider, Sharyl L.;
Pertwee, Roger G.; Stevenson, Lesley A.; Thomas, Brian
F.

CORPORATE SOURCE: Chemistry and Life Sciences Research Triangle

SOURCE: Institute, Research Triangle Park, NC, 27709, USA
 Journal of Medicinal Chemistry (2002), 45(13),
 2708-2719
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:103401
 IT **443141-84-2P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation and structure-activity relationships of amide and hydrazide
 analogs of CB1 antagonist SR141716)
 RN 443141-84-2 CAPLUS
 CN 1H-Pyrazole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-
 hydroxy-4-methyl- (9CI) (CA INDEX NAME)



AB Analogs of the biaryl pyrazole N-(piperidinyl)-5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-pyrazole-3-carboxamide, SR141716 (I) were synthesized to investigate the structure-activity relation (SAR) of the aminopiperidine region. The structural modifications include the substitution of alkyl hydrazines, amines, and hydroxyalkylamines of varying lengths for the aminopiperidinyl moiety. Proximity and steric requirements at the aminopiperidine region were probed by the synthesis of analogs that substitute alkyl hydrazines of increasing chain length and branching. The corresponding amide analogs were compared to the hydrazides to determine the effect of the second nitrogen on receptor binding affinity. The N-cyclohexyl amide (II) represents a direct methine for nitrogen substitution for I, reducing the potential for heteroatom interaction, while the morpholino analog adds the potential for an addnl. heteroatom interaction. The series of hydroxyalkyl amides of increasing chain length was synthesized to investigate the existence of addnl. receptor hydrogen binding sites. In displacement assays using the cannabinoid agonist [3H] (1R,3R,4R)-3-[2-hydroxy-4-(1,1-dimethylheptyl)phenyl]-4-(3-hydroxypropyl) cyclohexan-1-ol (CP 55 940) or the antagonist [3H] I, II exhibited the highest CB1 affinity. In general, increasing the length and bulk of the substituent was associated with increased receptor affinity and efficacy (as measured in a GTP- γ -[35S] assay). However, in most instances, receptor affinity and efficacy increases were no longer observed after a certain chain length was reached. A quant. SAR study was carried out to characterize the pharmacophoric requirements of the aminopiperidine region. This model indicates that ligands that exceed 3 Å in length would have reduced potency and affinity with respect to I and that substituents with a pos.

charge d. in the aminopiperidine region would be predicted to possess increased pharmacol. activity.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:151538 CAPLUS

DOCUMENT NUMBER: 136:195652

TITLE: Preparation of pesticidal 1-arylpyrazole oxime derivatives.

INVENTOR(S): Wu, Tai-Teh; Chene, Alain; Manning, David Treadway; Newsome, Peter Wyatt; Ray, Nicholas Charles; Phillips, Jennifer Lantz; Lowder, Patrick Doyle

PATENT ASSIGNEE(S): Rhone-Poulenc, Inc., USA

SOURCE: U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 946,375, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6350771	B1	20020226	US 1997-989247	19971212
ES 2179386	T3	20030116	ES 1997-953852	19971218
ZA 9711534	A	19980624	ZA 1997-11534	19971222
EG 21703	A	20020227	EG 1997-1391	19971224
TW 476757	B	20020221	TW 1997-86119724	19980302
CN 1316424	A	20011010	CN 2001-111650	20010312
US 2002045758	A1	20020418	US 2001-970667	20011005
US 6500850	B2	20021231		
US 2003144251	A1	20030731	US 2002-196959	20020718
US 6638956	B2	20031028		

PRIORITY APPLN. INFO.:

US 1996-33888P	P	19961224
US 1997-946375	B2	19971007
US 1997-989247	A3	19971212
US 1999-450450	B1	19991130
US 2001-970667	A3	20011005

OTHER SOURCE(S): MARPAT 136:195652

IT 194941-29-2P 194941-31-6P 194941-33-8P
 209965-42-4P 209965-45-7P 209965-47-9P
 209965-48-0P 209965-49-1P 209965-50-4P
 209965-51-5P 209965-52-6P 209965-61-7P
 209965-65-1P 209965-68-4P 209965-75-3P
 209965-76-4P 209965-80-0P 209965-81-1P
 209965-82-2P 209965-83-3P 209965-84-4P
 209965-85-5P 209965-86-6P 209965-87-7P
 209965-88-8P 209965-89-9P 209965-92-4P
 209965-93-5P 209965-96-8P 209965-97-9P
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 209966-01-8P 209966-02-9P 209966-03-0P
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 401612-77-9P

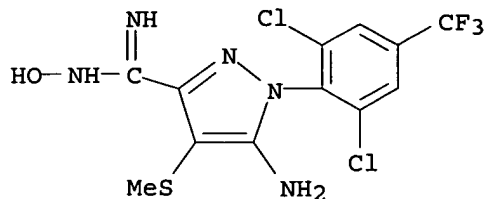
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Bio study); PREP (Preparation); USES (Uses)
 (preparation as systemic insecticide)

RN 194941-29-2 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-

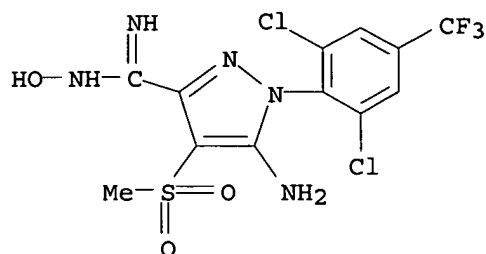
10/608,333

(trifluoromethyl)phenyl]-N-hydroxy-4-(methylthio)- (9CI) (CA INDEX NAME)



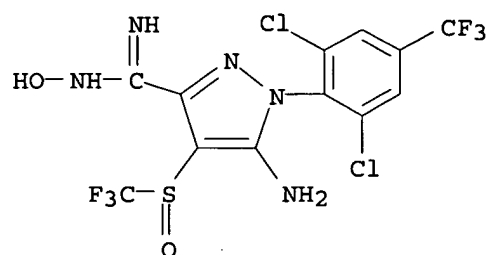
RN 194941-31-6 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



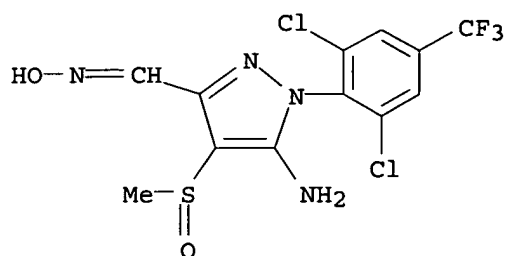
RN 194941-33-8 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI) (CA INDEX NAME)



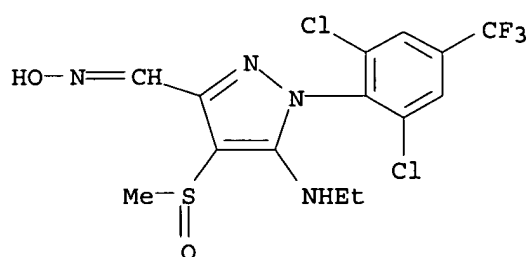
RN 209965-42-4 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



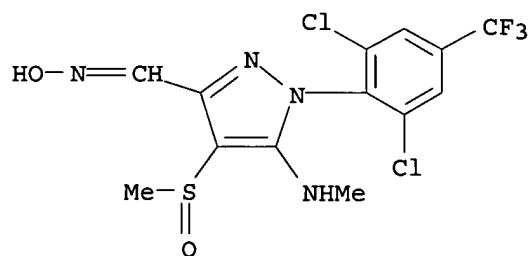
RN 209965-45-7 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



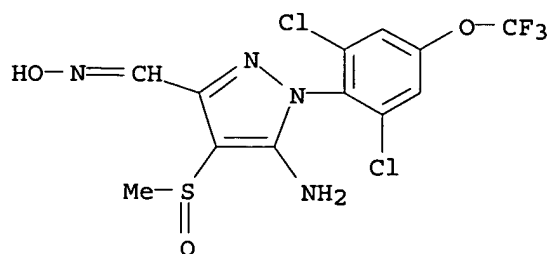
RN 209965-47-9 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(methylamino)-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



RN 209965-48-0 CAPLUS

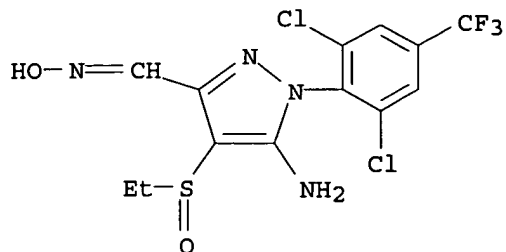
CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



10/608,333

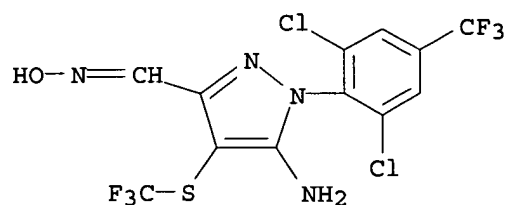
RN 209965-49-1 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



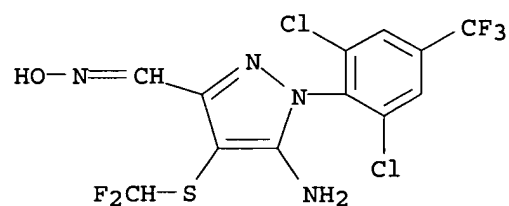
RN 209965-50-4 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(trifluoromethyl)thio]-, oxime (9CI) (CA INDEX NAME)



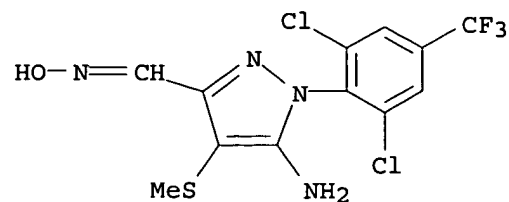
RN 209965-51-5 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(difluoromethyl)thio]-, oxime (9CI) (CA INDEX NAME)



RN 209965-52-6 CAPLUS

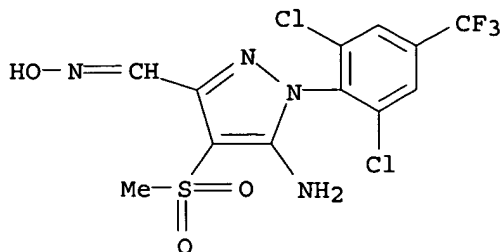
CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylthio)-, oxime (9CI) (CA INDEX NAME)



10/608,333

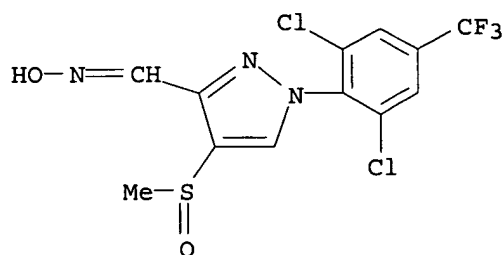
RN 209965-61-7 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylsulfonyl)-, oxime (9CI) (CA INDEX NAME)



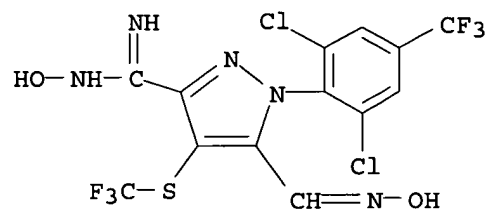
RN 209965-65-1 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



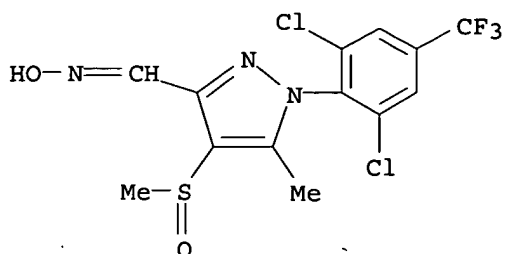
RN 209965-68-4 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-5-[(hydroxyimino)methyl]-4-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



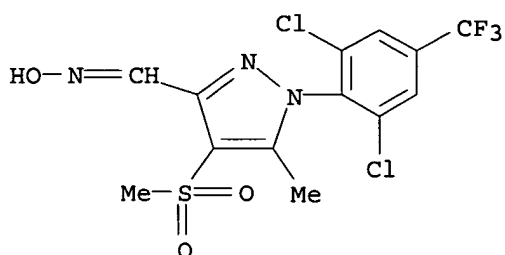
RN 209965-75-3 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-methyl-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



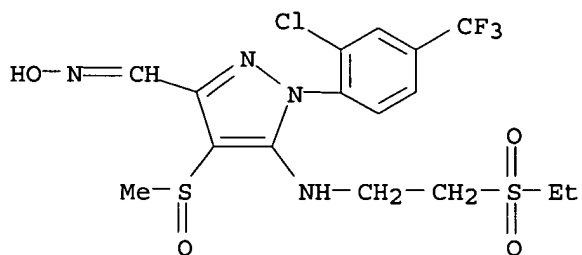
RN 209965-76-4 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-methyl-4-(methylsulfonyl)-, oxime (9CI) (CA INDEX NAME)



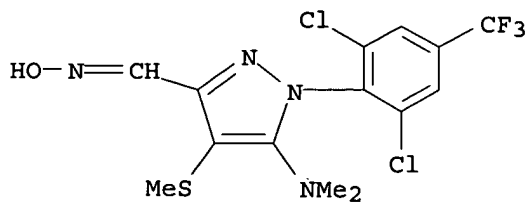
RN 209965-80-0 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2-chloro-4-(trifluoromethyl)phenyl]-5-[[2-(ethylsulfonyl)ethyl]amino]-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



RN 209965-81-1 CAPLUS

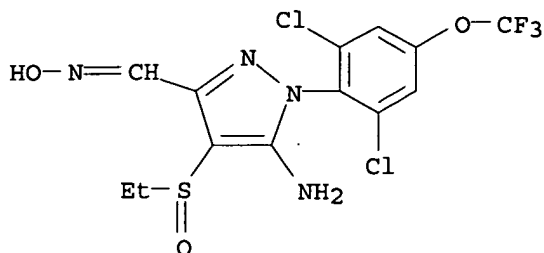
CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(dimethylamino)-4-(methylthio)-, oxime (9CI) (CA INDEX NAME)



RN 209965-82-2 CAPLUS

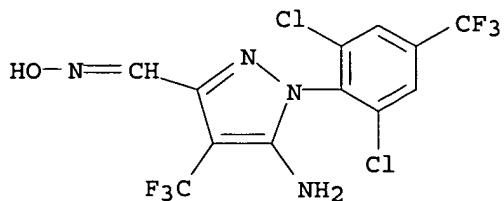
10/608,333

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-4-(ethylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



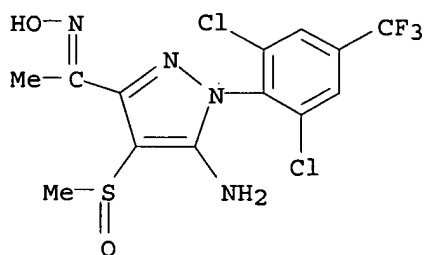
RN 209965-83-3 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(trifluoromethyl)-, oxime (9CI) (CA INDEX NAME)



RN 209965-84-4 CAPLUS

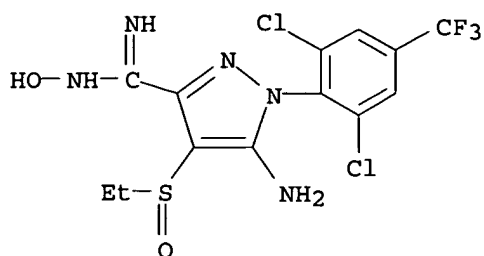
CN Ethanone, 1-[5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylsulfinyl)-1H-pyrazol-3-yl]-, oxime (9CI) (CA INDEX NAME)



RN 209965-85-5 CAPLUS

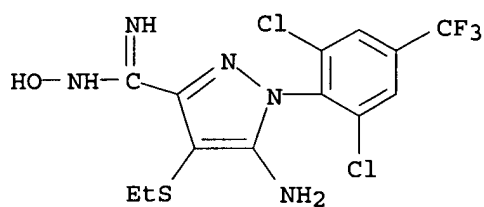
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylsulfinyl)-N-hydroxy- (9CI) (CA INDEX NAME)

10/608,333



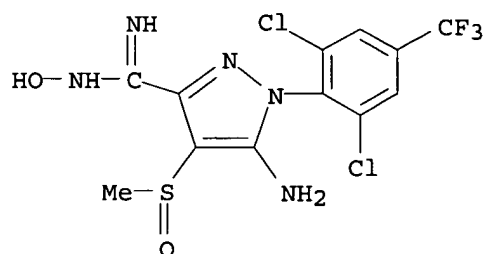
RN 209965-86-6 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylthio)-N-hydroxy- (9CI) (CA INDEX NAME)



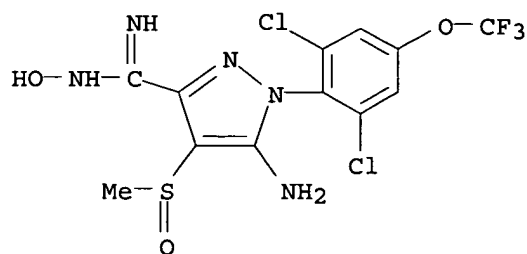
RN 209965-87-7 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



RN 209965-88-8 CAPLUS

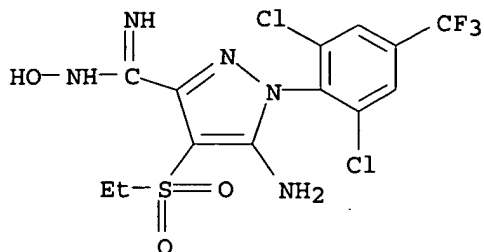
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



10/608,333

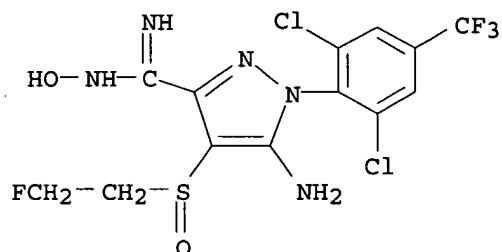
RN 209965-89-9 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylsulfonyl)-N-hydroxy- (9CI) (CA INDEX NAME)



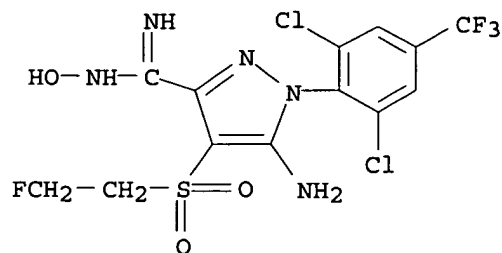
RN 209965-92-4 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(2-fluoroethyl)sulfinyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 209965-93-5 CAPLUS

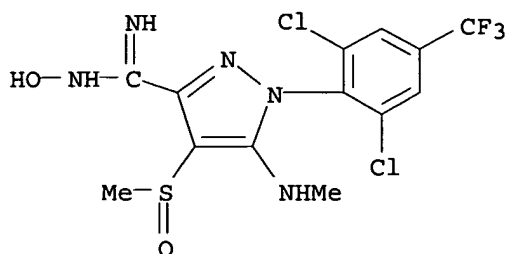
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(2-fluoroethyl)sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 209965-96-8 CAPLUS

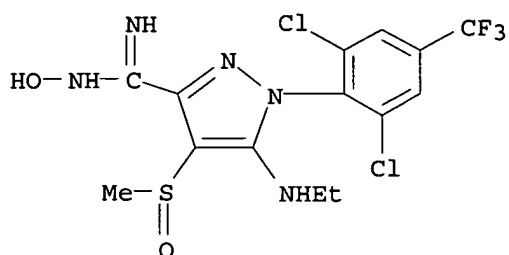
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-5-(methylamino)-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)

10/608,333



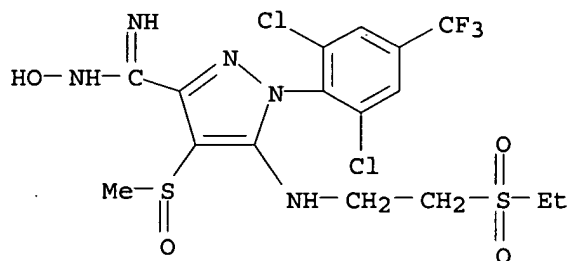
RN 209965-97-9 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



RN 209965-98-0 CAPLUS

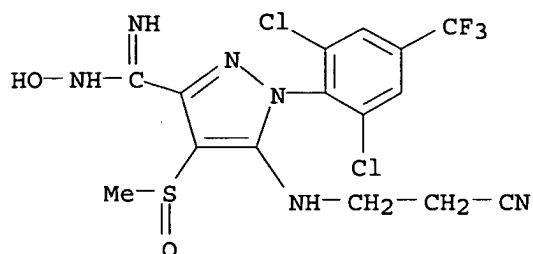
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-[[2-(ethylsulfonyl)ethyl]amino]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



RN 209965-99-1 CAPLUS

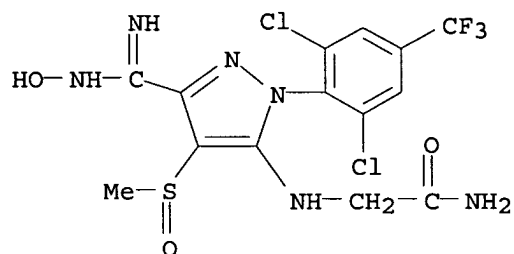
CN 1H-Pyrazole-3-carboximidamide, 5-[(2-cyanoethyl)amino]-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)

10/608,333



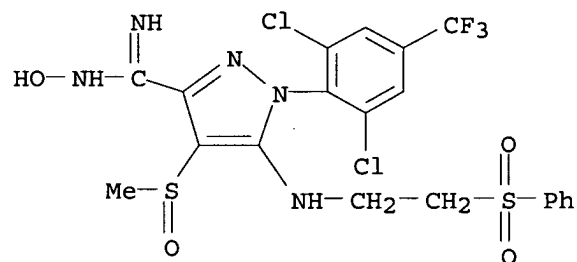
RN 209966-00-7 CAPLUS

CN Acetamide, 2-[[1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-3-[(hydroxyamino)iminomethyl]-4-(methylsulfinyl)-1H-pyrazol-5-yl]amino]- (9CI) (CA INDEX NAME)



RN 209966-01-8 CAPLUS

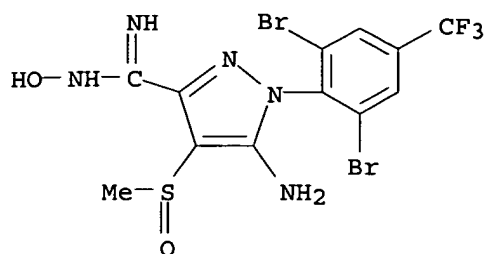
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)-5-[[2-(phenylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 209966-02-9 CAPLUS

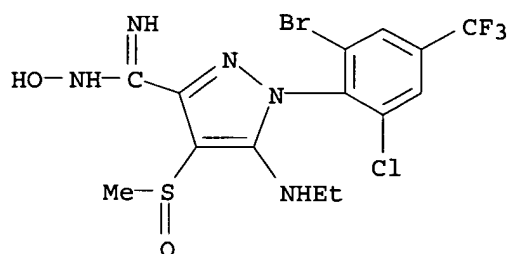
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dibromo-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)

10/608,333



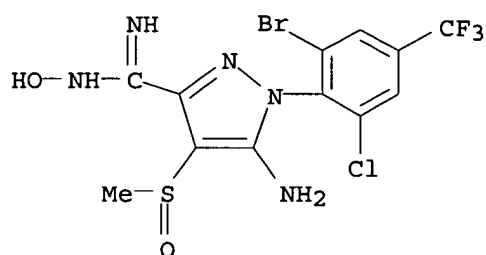
RN 209966-03-0 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2-bromo-6-chloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



RN 209966-04-1 CAPLUS

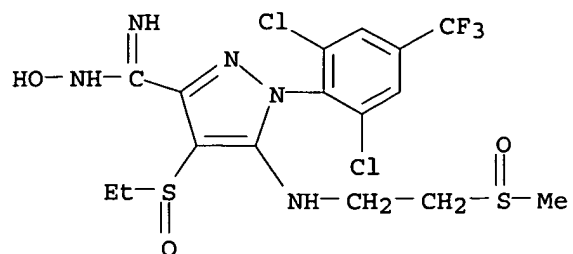
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2-bromo-6-chloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



RN 209966-05-2 CAPLUS

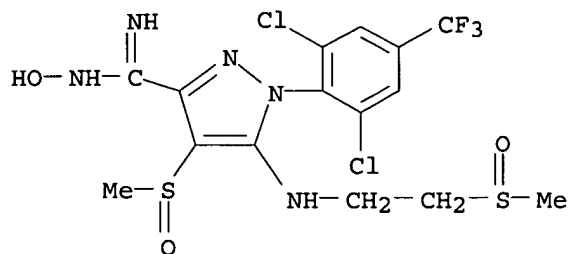
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylsulfinyl)-N-hydroxy-5-[[2-(methylsulfinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

10/608,333



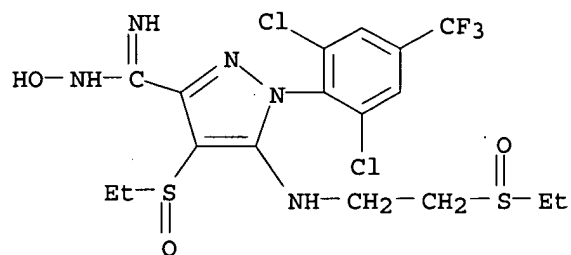
RN 209966-06-3 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(ethylsulfinyl)-5-[[2-(ethylsulfinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 209966-07-4 CAPLUS

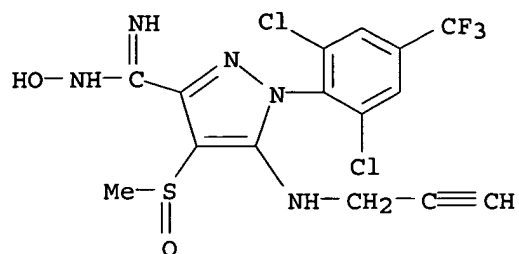
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylsulfinyl)-5-[[2-(ethylsulfinyl)ethyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 209966-08-5 CAPLUS

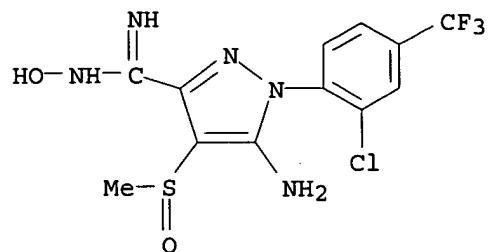
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)-5-(2-propynylamino)- (9CI) (CA INDEX NAME)

10/608,333



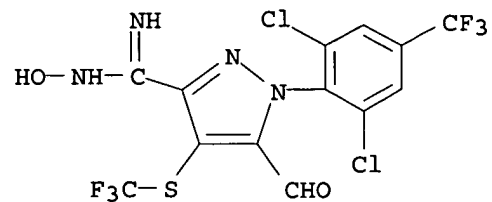
RN 209966-09-6 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)

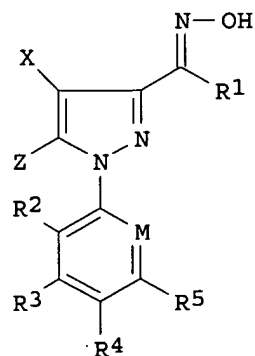


RN 401612-77-9 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-formyl-N-hydroxy-4-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



GI



I

AB The 1-arylpyrazole oxime derivs. I [X = SOMR₆; Z = (un)substituted NH₂; R₁ = H, alkyl or substituted NH₂; R₂ = H or halo; R₃, R₅ = R₂ or alkyl; R₄ = halo, haloalkyl, haloalkoxy, etc.; R₆ = alkyl, haloalkyl, alkenyl, etc.; m = 0, 1 or 2; M = C-halo, C-CH₃, C-CH₂F, C-CH₂Cl or C-NO₂] an their geometric isomers and tautomers are prepared as safe systemic insecticides, also useful for control of arthropod, nematode, helminth or protozoan pests.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:423412 CAPLUS

DOCUMENT NUMBER: 135:30294

TITLE: Synergistic insecticidal compositions containing oxadiazoline derivatives, insect control, and enhancement of insecticidal action of the derivatives

INVENTOR(S): Akayama, Atsuo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 67 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

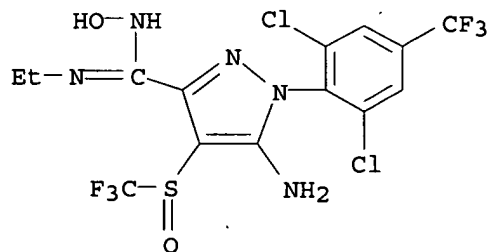
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001158785	A2	20010612	JP 1999-340604	19991130
PRIORITY APPLN. INFO.:			JP 1999-340604	19991130
OTHER SOURCE(S):	MARPAT	135:30294		

IT 230643-13-7P

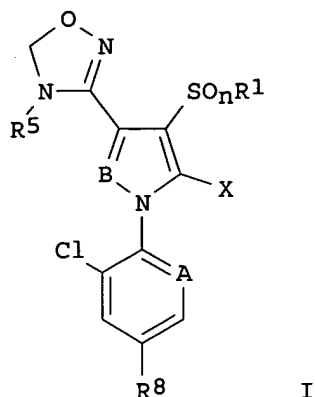
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of insecticidal oxadiazoline derivs. and synergistic agrochem. insecticides containing them)

RN 230643-13-7 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N'-hydroxy-4-[(trifluoromethyl)sulfinyl]-(9CI) (CA INDEX NAME)



GI



AB Insecticidal compns. contain the derivs. I [R1 = C1-6 alkyl, C1-6 haloalkyl; n = 0, 1, 2; X = NR2R3 (R2, R3 = H, C1-6 alkyl which may be substituted with pyridyl), N:CHOR4 (R4 = C1-6 alkyl), N:CHNR6R7 (R6, R7 = H, C1-6 alkyl), N:CHAr (Ar = Ph which may be substituted with OH or C1-3 alkoxy), pyrrolyl; R5 = (un)substituted alkyl, (un)substituted acyl; R8 = halo, C1-6 haloalkyl, C1-6 haloalkoxy, Ph which may be substituted with C1-6 haloalkyl; A = N, CR9 (R9 = Cl, cyano); B = N, CH] or their salts and other agrochem. components such as insecticidal clothianidin, nitenpyram, cartap hydrochloride, bensultap, pyraclofos, etc. Insects are controlled by combined use of I or their salts with the other agrochem. components. Insecticidal activity of I or their salts is enhanced by combined use with the other agrochem. components. I (n = 1, R1 = R8 = CF3, R5 = CONMe2, A = CCl, B = N, X = N:CHOCHMe2) (preparation given) and clothianidin showed synergistic action against *Plutella maculipennis* larvae in pot culture of cabbage. Agrochem. formulations containing I were also given.

L4 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:421116 CAPLUS

DOCUMENT NUMBER: 135:30293

TITLE: Ectoparasitocides containing oxadiazoline derivatives and control of ectoparasites in mammals

INVENTOR(S): Akayama, Atsuo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001158786	A2	20010612	JP 1999-340605	19991130
PRIORITY APPLN. INFO.:			JP 1999-340605	19991130

OTHER SOURCE(S): MARPAT 135:30293

IT 230643-13-7P

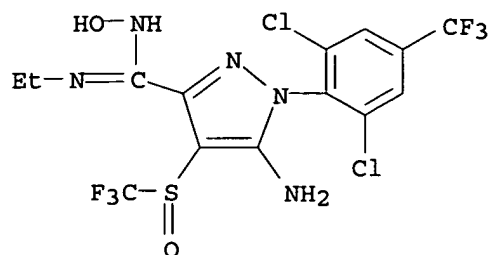
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxadiazoline derivs. as ectoparasitocides for mammals)

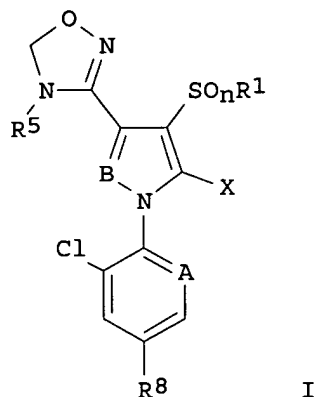
RN 230643-13-7 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-

(trifluoromethyl)phenyl]-N-ethyl-N'-hydroxy-4-[(trifluoromethyl)sulfinyl]-
(9CI) (CA INDEX NAME)



GI



I

AB Ectoparasites, e.g. arachnids, flies, lice, fleas, etc., are controlled by administration of the derivs. I [R¹ = C1-6 alkyl, C1-6 haloalkyl; n = 0, 1, 2; X = NR²R³ (R², R³ = H, C1-6 alkyl which may be substituted with pyridyl), N:CHOR⁴ (R⁴ = C1-6 alkyl), N:CHNR⁶R⁷ (R⁶, R⁷ = H, C1-6 alkyl), N:CHAr (Ar = Ph which may be substituted with OH or C1-3 alkoxy), pyrrolyl; R⁵ = (un)substituted alkyl, (un)substituted acyl; R⁸ = halo, C1-6 haloalkyl, C1-6 haloalkoxy, Ph which may be substituted with C1-6 haloalkyl; A = N, CR⁹ (R⁹ = Cl, cyano); B = N, CH] or their salts to mammals. I (X = N:CHOEt, n = 1, R¹ = R⁸ = CF₃, R⁵ = CONMe₂, A = CCl, B = N) was prepared Emulsions, feed additive granules, oral liqs., injections, aerosols, etc. containing I were also formulated.

L4 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:416911 CAPLUS

DOCUMENT NUMBER: 135:33474

TITLE: Control of arthropods in animals using parasiticidal, non-emetic 1-arylpyrazole derivatives

INVENTOR(S): Huber, Scot Kevin; Chou, David Teh-Wei; Schnatterer, Stefan; Bastiaans, Henricus Maria Martinus

PATENT ASSIGNEE(S): Aventis CropScience SA, Fr.

SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040195	A2	20010607	WO 2000-EP12100	20001201
WO 2001040195	A3	20011108		
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393197	AA	20010607	CA 2000-2393197	20001201
EP 1237873	A2	20020911	EP 2000-993256	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000015945	A	20021112	BR 2000-15945	20001201
JP 2003516941	T2	20030520	JP 2001-541880	20001201
US 2002111352	A1	20020815	US 2000-727684	20001204
US 6569886	B2	20030527		
ZA 2002004336	A	20030320	ZA 2002-4336	20020530
US 2003176466	A1	20030918	US 2003-406491	20030404
PRIORITY APPLN. INFO.:				
			US 1999-168658P	P 19991202
			WO 2000-EP12100	W 20001201
			US 2000-727684	A3 20001204

OTHER SOURCE(S): MARPAT 135:33474

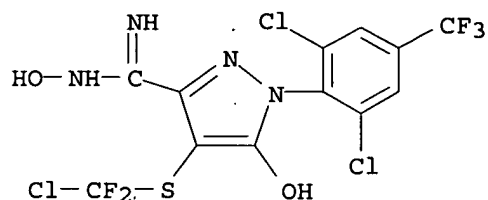
IT 343347-31-9P 343347-33-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(parasiticide candidate; preparation of arylpyrazole derivs. as non-emetic parasiticides for arthropod control)

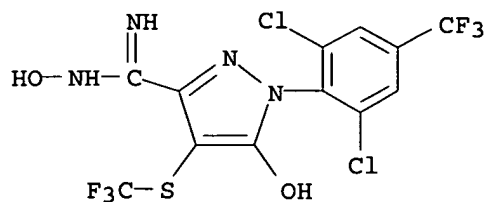
RN 343347-31-9 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 4-[(chlorodifluoromethyl)thio]-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N,5-dihydroxy- (9CI) (CA INDEX NAME)

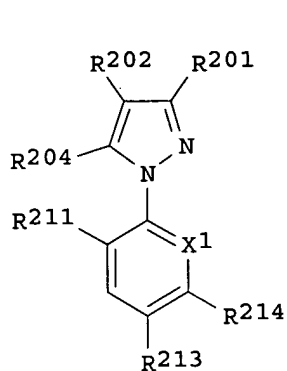


RN 343347-33-1 CAPLUS

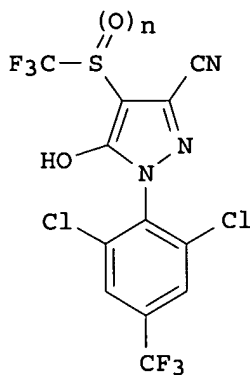
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N,5-dihydroxy-4-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



GI



I



II

AB A method of controlling parasites in or on an animal is disclosed, which comprises administration of a parasitically effective, substantially non-emetic 1-arylpyrazole of formula I [R201 = cyano, alkanoyl, (un)substituted CSNH₂ or CONH₂, haloalkyl, (un)substituted heterocyclyl, etc.; R202 = SOO-2R203, alkenyl, alkynyl, cycloalkyl, NO₂, (un)substituted imidazolyl, etc.; R203 = alkyl, haloalkyl; R204 = OH or numerous derivs.; X1 = N, CR212; R211, R212 = H, halo, cyano, Cl-3 alkyl, NO₂; R213 = halo, haloalkyl, haloalkoxy, SOO-2CF₃, SF₅; R214 = H; or R213R214 = OCF₂O, CF₂OCF₂, CF₂OCF₂O, CF₂CF₂O], or a veterinarily acceptable salt. The compds. are particularly useful in domestic animals, most preferably dogs and cats, and preferably by oral administration.. The parasites which are controlled are particularly ectoparasites, and preferably fleas and ticks. I are advantageous by virtue of reduced emesis. Several large tables of compds. I are listed, with phys. data for approx. 50 compds. For instance, oxidation of the known compound 1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano-4-trifluoromethylsulfenyl-5-hydroxypyrazole [II; n = 0] with m-chloroperbenzoic acid gave 37% II [n = 1]. Alternatively, oxidation of II [n = 0] using peracetic acid gave 50.2% II [n = 2]. When fed to cats at 20 mg/kg, and dogs at 10 mg/kg, and formulated at 30 mg/mL in 1:1 (volume/volume) DMSO and corn oil, II [n = 1, 2] and other selected I gave satisfactory control of the flea *Ctenocephalides felis* and the tick *Rhipicephalus sanguineus*, without any significant side effects. Potential application to control of arthropod and nematode pests of plants is also mentioned.

L4 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:784098 CAPLUS

DOCUMENT NUMBER: 132:12312

TITLE: Preparation of (phenylpyrazolyl)oxadiazolines and analogs as insecticides

INVENTOR(S): Kando, Yasuyuki; Noguchi, Makoto; Akayama, Atsuo;

PATENT ASSIGNEE(S): Masada, Shinichi; Kiji, Toshiyuki
 SOURCE: Takeda Chemical Industries, Ltd., Japan
 PCT Int. Appl., 116 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962903	A1	19991209	WO 1999-JP2876	19990531
W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2333759	AA	19991209	CA 1999-2333759	19990531
AU 9939573	A1	19991220	AU 1999-39573	19990531
JP 2000344767	A2	20001212	JP 1999-151959	19990531
BR 9910912	A	20010306	BR 1999-10912	19990531
EP 1084121	A1	20010321	EP 1999-922586	19990531
EP 1084121	B1	20020731		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 221529	E	20020815	AT 1999-922586	19990531
ES 2177275	T3	20021201	ES 1999-922586	19990531
CN 1131863	B	20031224	CN 1999-809190	19990531
TW 568908	B	20040101	TW 1999-88109019	19990601
US 6288088	B1	20010911	US 2000-701544	20001130
PRIORITY APPLN. INFO.:			JP 1998-153166	A 19980602
			JP 1998-234733	A 19980820
			JP 1999-95559	A 19990401
			WO 1999-JP2876	W 19990531

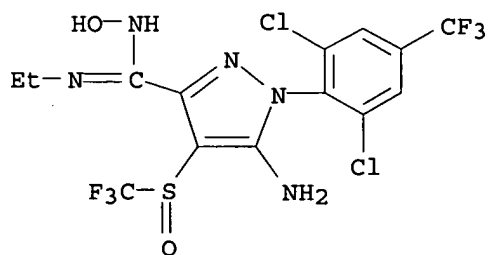
OTHER SOURCE(S): MARPAT 132:12312

IT 230643-13-7P

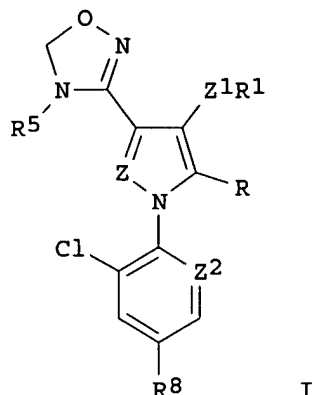
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (oxadiazoline derivs. and their use as insecticides)

RN 230643-13-7 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N'-hydroxy-4-[(trifluoromethyl)sulfinyl]-(9CI) (CA INDEX NAME)



GI



AB Title compds. [I; R = NR₂R₃, N:CHOR₄, N:CHPh, etc.; R₁ = (halo)alkyl; R₂, R₃ = H, (pyridyl)alkyl; R₄ = alkyl; R₅ = (un)substituted alkyl, -acyl; Z = N or CH; Z₁ = SO₀-2; Z₂ = N or CR₉; R₉ = Cl or cyano] were prepared. Thus, I (R = NH₂, Z₁R₁ = SO₂CF₃, R₈ = CF₃, Z = N, Z₂ = CCl) (II; R₅ = H) was condensed with HC(OCHMe₂)₃ to give II [R₅ = (Me₂CHO)₂CH]. Data for biol. activity of I were given.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:409212 CAPLUS

DOCUMENT NUMBER: 131:98844

TITLE: Control of pests in containerized seedlings with nitrogen-containing insecticides

INVENTOR(S): Akayama, Atsuo

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 117 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11171702	A2	19990629	JP 1998-264372	19980918
PRIORITY APPLN. INFO.:			JP 1997-258947	A 19970924

OTHER SOURCE(S): MARPAT 131:98844

IT 185615-32-1 185617-32-7 194941-29-2
 194941-31-6 194941-33-8 194941-36-1
 194941-37-2 194941-49-6 194941-51-0
 194941-53-2 194941-55-4 194941-57-6
 194941-58-7 194941-59-8 194941-60-1
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 194941-64-5 194941-65-6 194941-81-6
 194941-82-7 194941-83-8

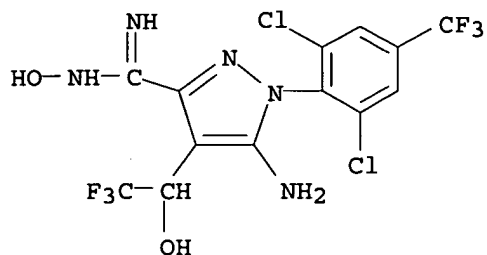
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
 (insecticide for containerized seedlings)

RN 185615-32-1 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-

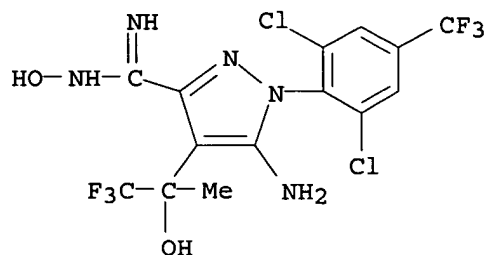
10/608,333

(trifluoromethyl)phenyl]-N-hydroxy-4-(2,2,2-trifluoro-1-hydroxyethyl)-
(9CI) (CA INDEX NAME)



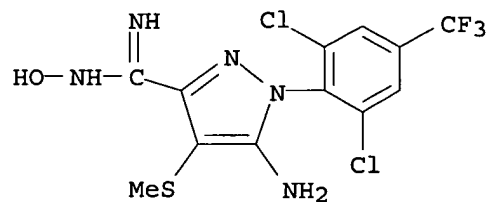
RN 185617-32-7 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(2,2,2-trifluoro-1-hydroxy-1-methylethyl)- (9CI) (CA INDEX NAME)



RN 194941-29-2 CAPLUS

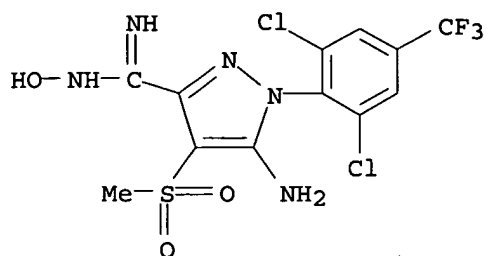
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylthio)- (9CI) (CA INDEX NAME)



RN 194941-31-6 CAPLUS

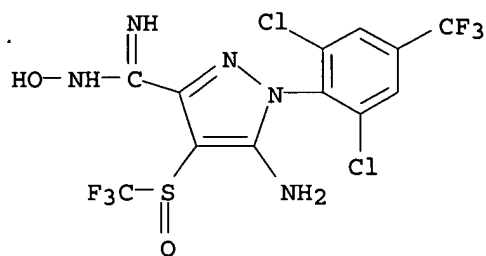
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

10/608,333



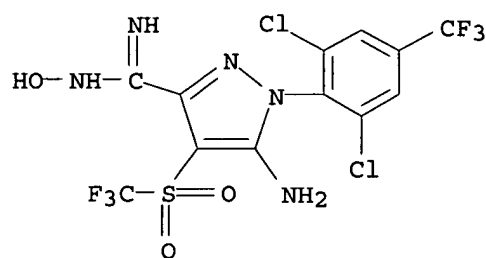
RN 194941-33-8 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



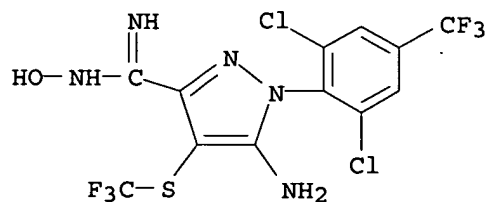
RN 194941-36-1 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)sulfonyl]- (9CI)
(CA INDEX NAME)



RN 194941-37-2 CAPLUS

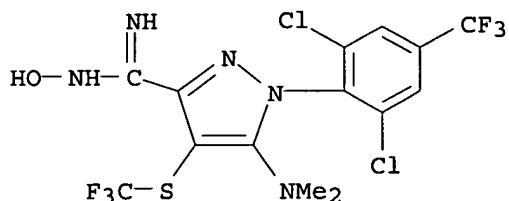
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



10/608,333

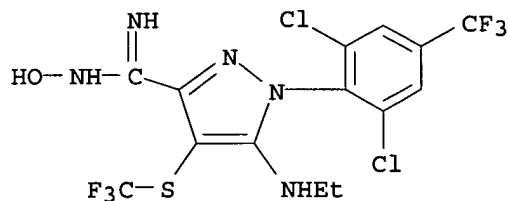
RN 194941-49-6 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(dimethylamino)-N-hydroxy-4-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



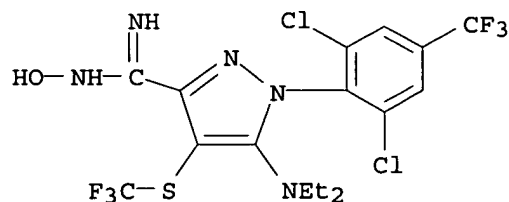
RN 194941-51-0 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-N-hydroxy-4-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



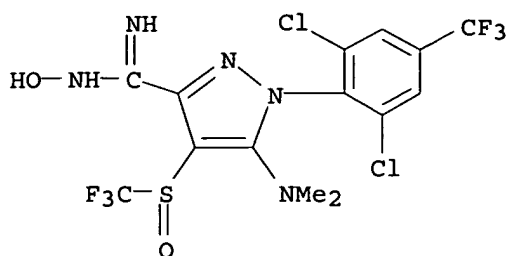
RN 194941-53-2 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(diethylamino)-N-hydroxy-4-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



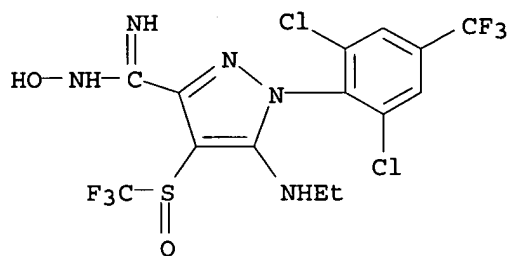
RN 194941-55-4 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(dimethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI) (CA INDEX NAME)



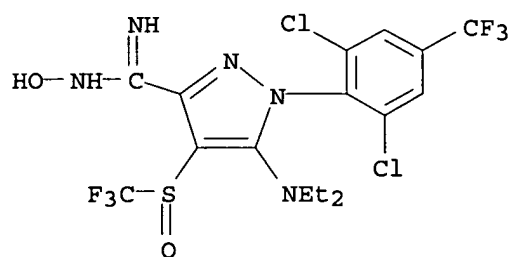
RN 194941-57-6 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI) (CA INDEX NAME)



RN 194941-58-7 CAPLUS

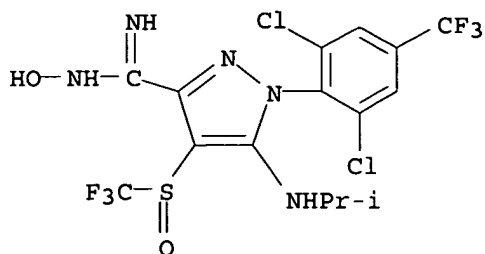
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(diethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI) (CA INDEX NAME)



RN 194941-59-8 CAPLUS

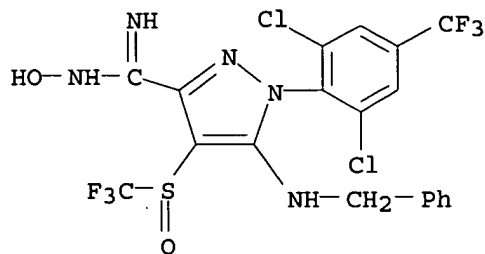
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-5-[(1-methylethyl)amino]-4-[(trifluoromethyl)sulfinyl]- (9CI) (CA INDEX NAME)

10/608,333



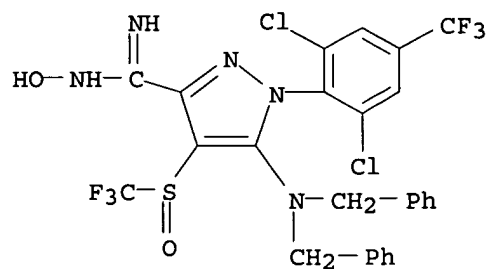
RN 194941-60-1 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-5-[(phenylmethyl)amino]-4-[(trifluoromethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



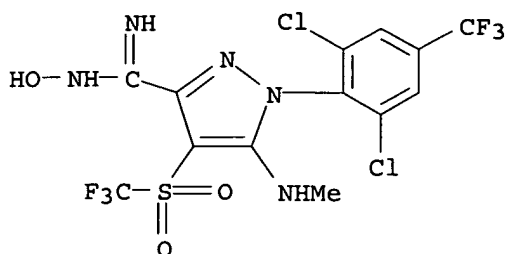
RN 194941-61-2 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-[bis(phenylmethyl)amino]-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



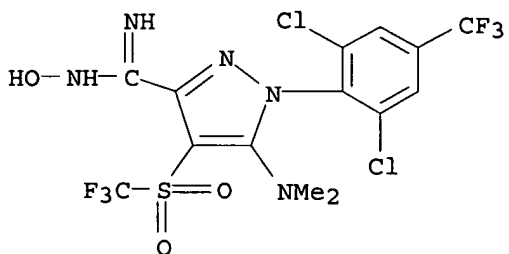
RN 194941-62-3 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-5-(methylamino)-4-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



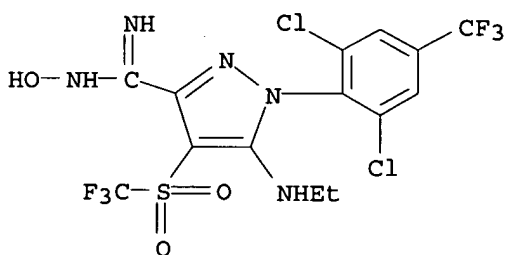
RN 194941-63-4 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(dimethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



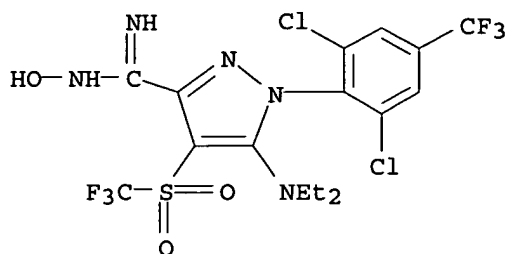
RN 194941-64-5 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



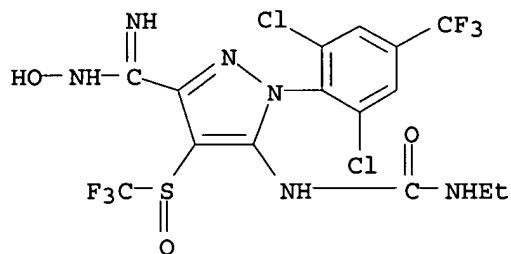
RN 194941-65-6 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(diethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



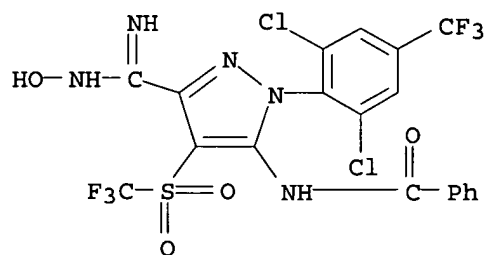
RN 194941-81-6 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-[[[(ethylamino)carbonyl]amino]-N-hydroxy-4-[(trifluoromethyl)sulfinyl]-(9CI) (CA INDEX NAME)



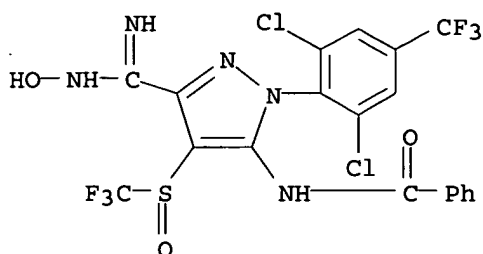
RN 194941-82-7 CAPLUS

CN Benzamide, N-[1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-3-[(hydroxyamino)iminomethyl]-4-[(trifluoromethyl)sulfonyl]-1H-pyrazol-5-yl]-(9CI) (CA INDEX NAME)



RN 194941-83-8 CAPLUS

CN Benzamide, N-[1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-3-[(hydroxyamino)iminomethyl]-4-[(trifluoromethyl)sulfinyl]-1H-pyrazol-5-yl]-(9CI) (CA INDEX NAME)

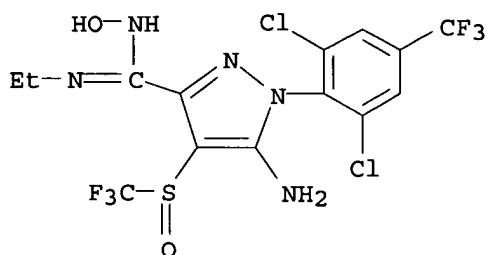


IT 230643-13-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of)

RN 230643-13-7 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N'-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI) (CA INDEX NAME)



AB A labor-saving method for controlling pests in angiosperms, except Gramineae, involves raising seedlings in a container filled with medium that, before seeding or temporary planting, is mixed with an insecticide of the formula $R_1R_2NCR_3:Y$, where $R_1 = H$, hydrocarbon, acyl, or substituted alkyl, the substituent possibly being heterocyclic; $R_2 = H$, hydrocarbon, or a bivalent group bound to R_3 ; $R_3 =$ hydrocarbon, SR_4 (where R_4 has the same meanings as R_1), or YR_5R_6 (where R_5 and R_6 are the same or different and have the same meanings as R_1), etc.; $Y = :N$ or $:CZ$, where $Z = H$ or hydrocarbon, optionally substituted; and $X =$ electron-withdrawing substituent. Thus, in a pot experiment with cucumber, mixing granules containing

1-N-[(6-chloro-3-pyridylmethyl)-N-ethylamino]-1-methylamino-2-nitroethylene at 0.286 g/L with medium completely controlled *Aphis gossypii*.

L4 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:279733 CAPLUS

DOCUMENT NUMBER: 130:311789

TITLE: Preparation of pesticidal 3-substituted arylpyrazoles

INVENTOR(S): Wu, Tai-Teh

PATENT ASSIGNEE(S): Rhone-Poulenc Agro, Fr.

SOURCE: Eur. Pat. Appl., 40 pp.

CODEN: EPXXDW

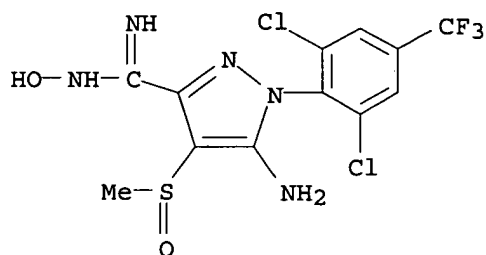
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 911329	A1	19990428	EP 1998-118417	19980929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 5981565	A	19991109	US 1997-946132	19971007
US 6008353	A	19991228	US 1997-946054	19971007
US 6107314	A	20000822	US 1997-946648	19971007
JP 11263777	A2	19990928	JP 1998-283056	19981005
US 6432997	B1	20020813	US 1999-404809	19990924
US 6277848	B1	20010821	US 1999-440850	19991116
US 6346522	B1	20020212	US 1999-440849	19991116
US 6376520	B1	20020423	US 2001-930946	20010817
US 2002173492	A1	20021121	US 2002-60229	20020201
US 6500848	B2	20021231		
US 2003092680	A1	20030515	US 2002-238902	20020911
US 6593328	B2	20030715		
PRIORITY APPLN. INFO.:			US 1997-946054	A 19971007
			US 1997-946132	A 19971007
			US 1997-946648	A 19971007
			US 1999-440850	A3 19991116
			US 2001-930946	A3 20010817
			US 2002-60229	A3 20020201
OTHER SOURCE(S):		MARPAT 130:311789		
IT	209965-87-7P			
	RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)			
	(preparation of pesticidal 3-substituted arylpyrazoles)			
RN	209965-87-7 CAPLUS			
CN	1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)			



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = II-IV (R1a = H, alkyl, a lone pair of electrons; R1b = alkyl, aryl; X = O, NH, N(alkyl); Q = CR8R9, C(:Y), etc.; R8, R9 = H, alkyl, aryl, etc.; Y = O, S; Z = alkyl, aryl; W = H, alkyl, alkenyl, etc.; V = H, alkyl, CN, etc.); R2 = alkyl, haloalkyl, SOnR2a (R2a = alkyl, alkenyl, alkynyl, etc.); R3 = H, halo, alkyl, etc.; R4, R5, R7 = H, halo, alkyl; R6 = halo, haloalkyl, haloalkoxy, etc.; M = C(halo), C(Me), N, etc.], having pesticidal activity, were prepared Thus, reaction

of 5-amino-1-(2,6-dichloro-4-trifluoromethylphenyl)-4-methylsulfinyl-3-[3-(1-amidoxime)]pyrazole (preparation given) with trifluoroacetic anhydride in dioxane afforded the title compound V which showed insecticidal activity in one or more of the evaluation methods (described in patent), with particularly good activity in the systemic tests.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:244518 CAPLUS
DOCUMENT NUMBER: 130:248363
TITLE: Insecticidal 3-cyanopyrazole derivatives.
INVENTOR(S): Wu, Tai-Teh
PATENT ASSIGNEE(S): Rhone-Poulenc Agro, Fr.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9917613	A1	19990415	WO 1998-EP6658	19981005
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9910311	A1	19990427	AU 1999-10311	19981005
PRIORITY APPLN. INFO.:			US 1997-61244P	P 19971007
			US 1997-62269P	P 19971017
			WO 1998-EP6658	W 19981005

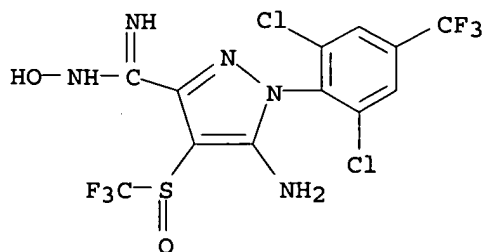
OTHER SOURCE(S): MARPAT 130:248363

IT 194941-33-8 209965-87-7

RL: AGR (Agricultural use); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses) (insecticide)

RN 194941-33-8 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI) (CA INDEX NAME)

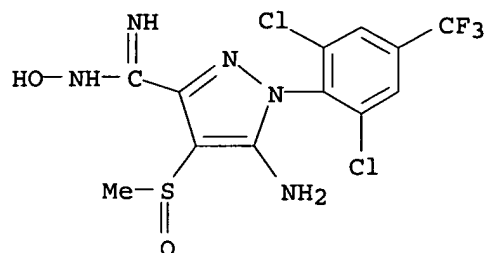


RN 209965-87-7 CAPLUS

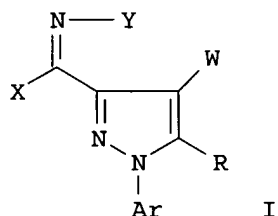
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-

10/608,333

(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



GI



AB Known 3-cyanopyrazole insecticides are delivered to a locus by application of their derivs. I [X = group bonded through N, O or S; Y = H or a group bonded through C, N, O, S or P; XY = YN:CX; W = halo or a group bonded through C, N, O, S or P; R = H or W; Ar = (un)substituted aryl or heteroaryl]. I are converted spontaneously on the locus into the corresponding active 3-cyanopyrazole derivs.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:9820 CAPLUS

DOCUMENT NUMBER: 130:81510

TITLE: Preparation of phenylpyrazolecarboxamides as coagulation factor Xa inhibitors

INVENTOR(S): Galemme, Robert Anthony, Jr.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Lam, Patrick Yuk-sun; Pinto, Donald Joseph Philip; Pruitt, James Russell; Quan, Mimi Lifan

PATENT ASSIGNEE(S): The Du Pont Merck Pharmaceutical Company, USA

SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9857937	A2	19981223	WO 1998-US12681	19980618
WO 9857937	A3	19990318		

W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL,

RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE

ZA 9805251	A	19991217	ZA 1998-5251	19980617
CA 2290982	AA	19981223	CA 1998-2290982	19980618
AU 9881503	A1	19990104	AU 1998-81503	19980618
US 5998424	A	19991207	US 1998-99752	19980618
EP 991625	A2	20000412	EP 1998-931355	19980618

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
 SI, LT, LV, FI, RO

BR 9810151	A	20000808	BR 1998-10151	19980618
EE 9900584	A	20000815	EE 1999-584	19980618
SI 20208	C	20001031	SI 1998-20043	19980618
JP 2002507968	T2	20020312	JP 1999-504786	19980618
US 6403620	B1	20020611	US 1999-393782	19990910
LV 12516	B	20010320	LV 1999-177	19991216
NO 9906316	A	19991217	NO 1999-6316	19991217
LT 4702	B	20000925	LT 1999-146	19991217
US 2003092740	A1	20030515	US 2002-150698	20020516
US 6602895	B2	20030805		

PRIORITY APPLN. INFO.:

US 1997-50219P	P	19970619
US 1997-878885	A	19970619
US 1998-76691P	P	19980227
US 1998-99752	A3	19980618
WO 1998-US12681	W	19980618
US 1999-393782	A3	19990910

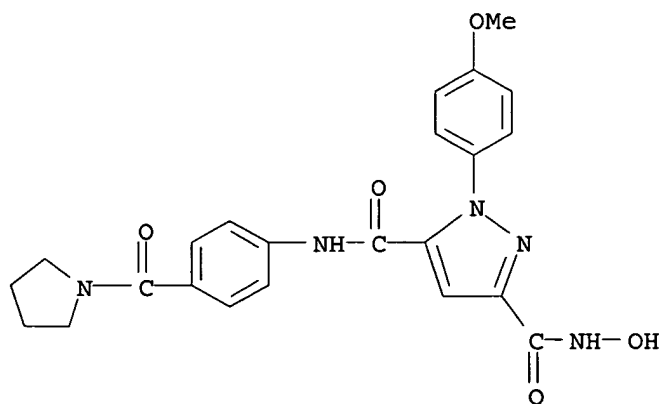
OTHER SOURCE(S): MARPAT 130:81510

IT 218631-16-4P

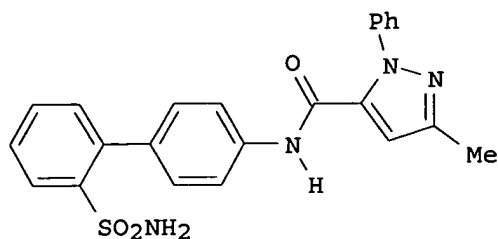
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phenylpyrazolecarboxamides as coagulation factor Xa inhibitors)

RN 218631-16-4 CAPLUS

CN 1H-Pyrazole-3,5-dicarboxamide, N3-hydroxy-1-(4-methoxyphenyl)-N5-[4-(1-pyrrolidinylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



GI



II

AB EZ1M [I; E = halo, OH, alkyl, alkoxy, etc.; M = Z2ZAB; A = (un)substituted carbocyclylene, -heterocyclylene; B = H, Y, XY; X = alkylene, CO, O, (un)substituted NH, etc.; Y = amino(alkyl), substituted carbocyclyl, -heterocyclyl, etc.; Z = bond, (heteroatom- or functional group-interrupted) alkylene, etc.; Z1 = (un)substituted Ph, Z2 = N-containing heteroarylene, etc.] were prepared Thus, MeCOCH2C(:NOMe)CO2Et was cyclocondensed with PhNHNH2 and the product amidated by 4-(H2N)C6H4C6H4(SO2NHCMe3)-2 to give, after deprotection, title compound II. Data for biol. activity of I were given.

L4 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:479511 CAPLUS

DOCUMENT NUMBER: 129:109088

TITLE: Pesticidal 1-arylpyrazoles

INVENTOR(S): Chene, Alain; Lowder, Patrick Doyle; Manning, David Treadway; Newsome, Peter Wyatt; Phillips, Jenniver Lantz; Ray, Nicholas Charles; Wu, Tai-teh

PATENT ASSIGNEE(S): Rhone-Poulenc Agrochimie, Fr.

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9828278	A1	19980702	WO 1997-EP7117	19971218
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2275920	AA	19980702	CA 1997-2275920	19971218
AU 9857599	A1	19980717	AU 1998-57599	19971218
AU 745770	B2	20020328		
EP 948485	A1	19991013	EP 1997-953852	19971218
EP 948485	B1	20020925		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
CN 1245492	A	20000223	CN 1997-181601	19971218
CN 1094928	B	20021127		
BR 9714187	A	20000229	BR 1997-14187	19971218
EE 9900322	A	20000615	EE 1999-322	19971218
EE 4306	B1	20040615		
JP 2001507002	T2	20010529	JP 1998-528352	19971218

AP 1039	A	20020123	AP 1999-1589	19971218
W: KE, MW, SD, UG, ZW				
AT 224877	E	20021015	AT 1997-953852	19971218
ES 2179386	T3	20030116	ES 1997-953852	19971218
SK 283823	B6	20040203	SK 1999-859	19971218
ZA 9711534	A	19980624	ZA 1997-11534	19971222
EG 21703	A	20020227	EG 1997-1391	19971224
TW 476757	B	20020221	TW 1997-86119724	19980302
BG 103591	A	20001130	BG 1999-103591	19990719
CN 1316424	A	20011010	CN 2001-111650	20010312
PRIORITY APPLN. INFO.:			US 1996-33888P	P 19961224
			US 1997-946375	A 19971007
			WO 1997-EP7117	W 19971218

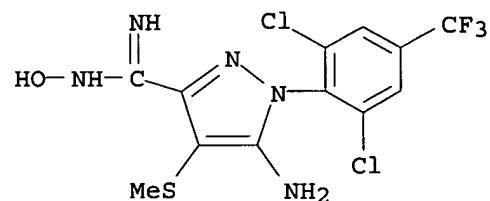
OTHER SOURCE(S): MARPAT 129:109088

IT 194941-29-2P 194941-31-6P 194941-33-8P
 194941-36-1P 194941-37-2P 209965-42-4P
 209965-45-7P 209965-47-9P 209965-48-0P
 209965-49-1P 209965-50-4P 209965-51-5P
 209965-52-6P 209965-61-7P 209965-65-1P
 209965-67-3P 209965-68-4P 209965-74-2P
 209965-75-3P 209965-76-4P 209965-78-6P
 209965-79-7P 209965-80-0P 209965-81-1P
 209965-82-2P 209965-83-3P 209965-84-4P
 209965-85-5P 209965-86-6P 209965-87-7P
 209965-88-8P 209965-89-9P 209965-92-4P
 209965-93-5P 209965-96-8P 209965-97-9P
 209965-98-0P 209965-99-1P 209966-00-7P
 209966-01-8P 209966-02-9P 209966-03-0P
 209966-04-1P 209966-05-2P 209966-06-3P
 209966-07-4P 209966-08-5P 209966-09-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pesticidal arylpyrazoles)

RN 194941-29-2 CAPLUS

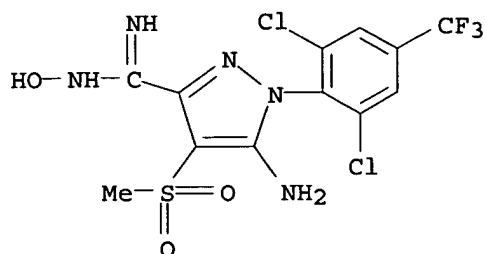
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylthio)- (9CI) (CA INDEX NAME)



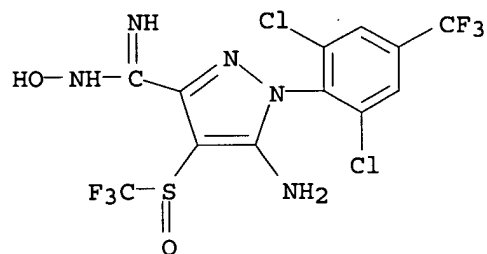
RN 194941-31-6 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

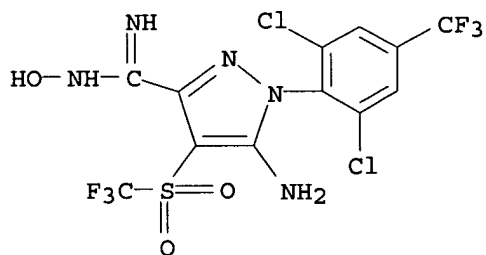
10/608,333



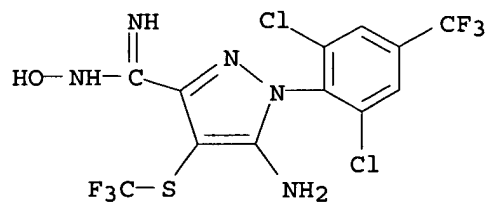
RN 194941-33-8 CAPLUS
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



RN 194941-36-1 CAPLUS
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)sulfonyl]- (9CI)
(CA INDEX NAME)



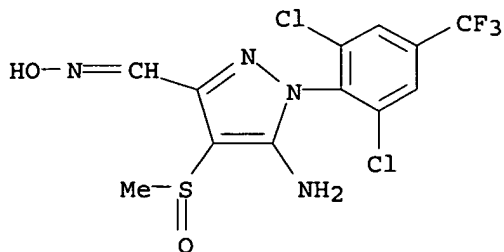
RN 194941-37-2 CAPLUS
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



10/608,333

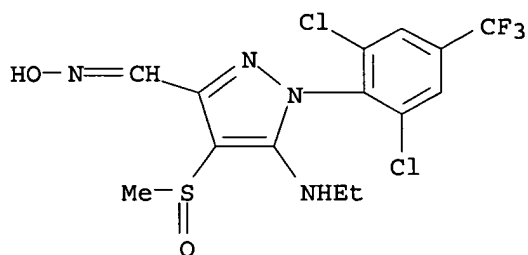
RN 209965-42-4 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



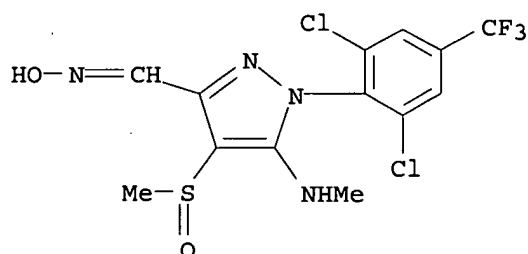
RN 209965-45-7 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



RN 209965-47-9 CAPLUS

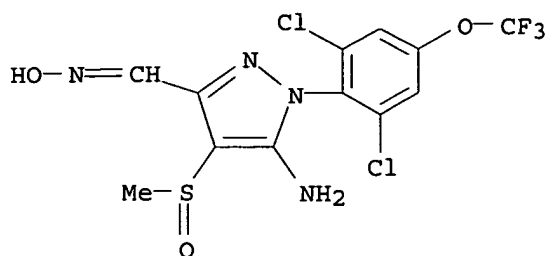
CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(methylamino)-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



RN 209965-48-0 CAPLUS

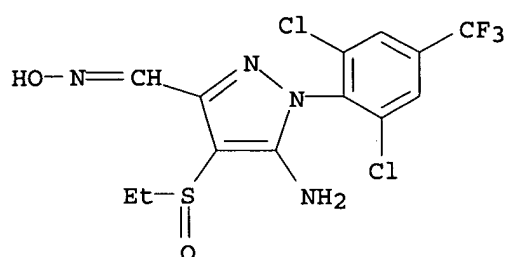
CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)

10/608,333



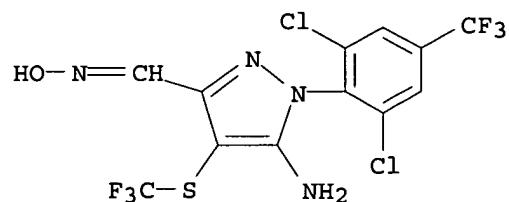
RN 209965-49-1 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



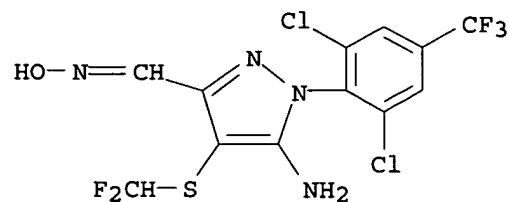
RN 209965-50-4 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(trifluoromethyl)thio]-, oxime (9CI) (CA INDEX NAME)



RN 209965-51-5 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(difluoromethyl)thio]-, oxime (9CI) (CA INDEX NAME)

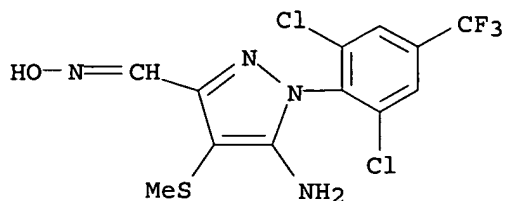


RN 209965-52-6 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-

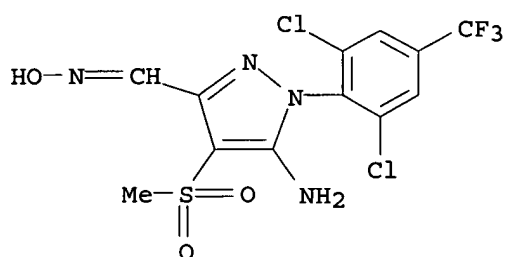
10/608,333

(trifluoromethyl)phenyl]-4-(methylthio)-, oxime (9CI) (CA INDEX NAME)



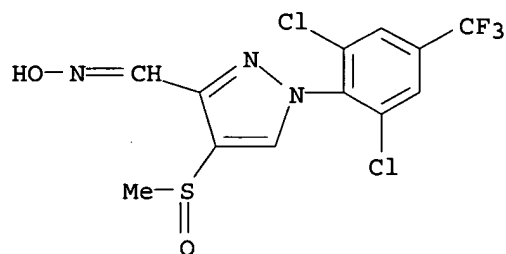
RN 209965-61-7 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylsulfonyl)-, oxime (9CI) (CA INDEX NAME)



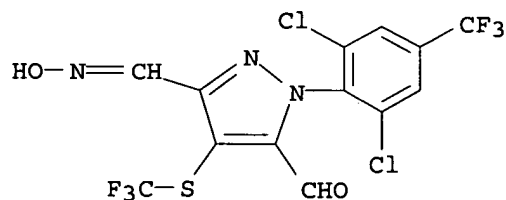
RN 209965-65-1 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



RN 209965-67-3 CAPLUS

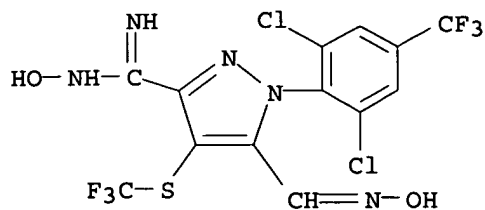
CN 1H-Pyrazole-3,5-dicarboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(trifluoromethyl)thio]-, 3-oxime (9CI) (CA INDEX NAME)



RN 209965-68-4 CAPLUS

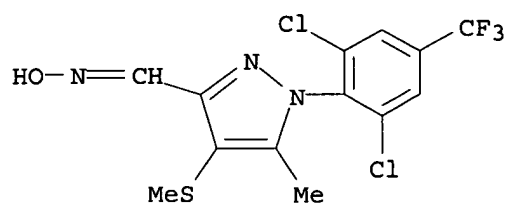
10/608,333

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-5-[(hydroxyimino)methyl]-4-[(trifluoromethyl)thio]- (9CI) (CA INDEX NAME)



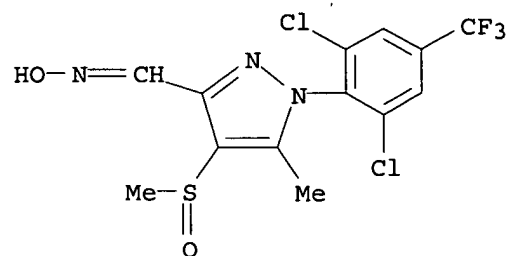
RN 209965-74-2 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-methyl-4-(methylthio)-, oxime (9CI) (CA INDEX NAME)



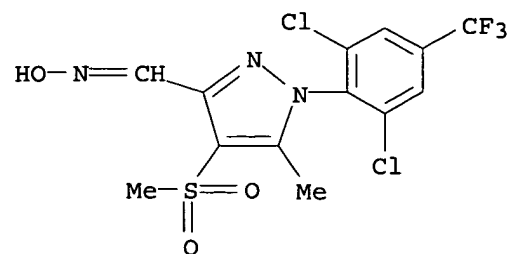
RN 209965-75-3 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-methyl-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



RN 209965-76-4 CAPLUS

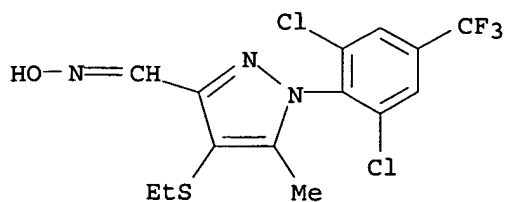
CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-methyl-4-(methylsulfonyl)-, oxime (9CI) (CA INDEX NAME)



10/608,333

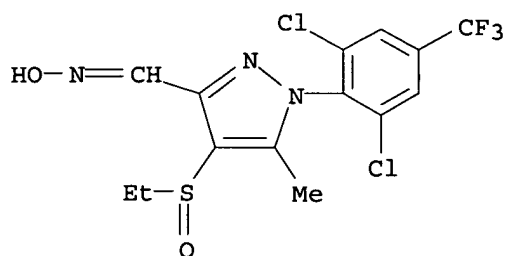
RN 209965-78-6 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylthio)-5-methyl-, oxime (9CI) (CA INDEX NAME)



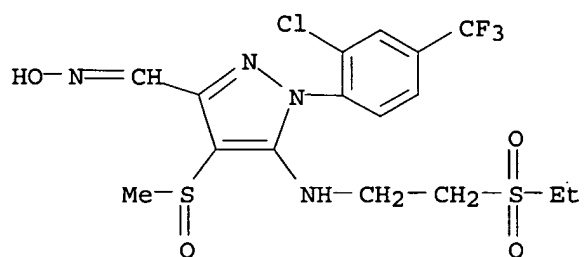
RN 209965-79-7 CAPLUS

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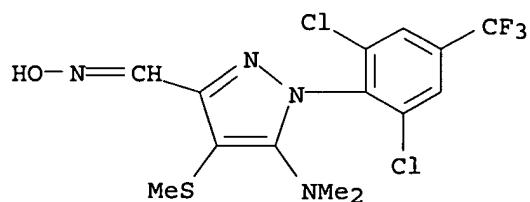
RN 209965-80-0 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 1-[2-chloro-4-(trifluoromethyl)phenyl]-5-[[2-(ethylsulfonyl)ethyl]amino]-4-(methylsulfinyl)-, oxime (9CI) (CA INDEX NAME)



RN 209965-81-1 CAPLUS

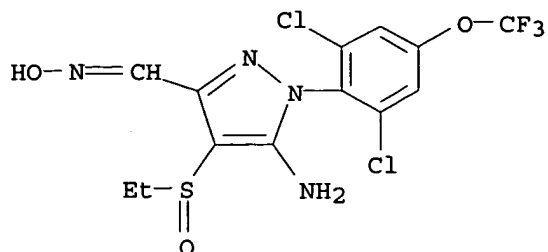
CN 1H-Pyrazole-3-carboxaldehyde, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(dimethylamino)-4-(methylthio)-, oxime (9CI) (CA INDEX NAME)



10/608,333

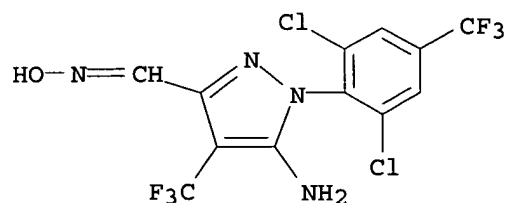
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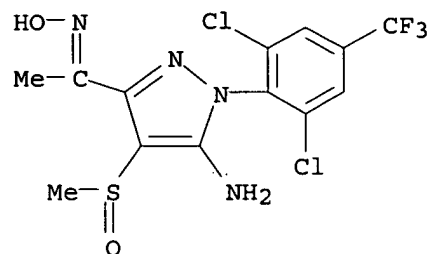
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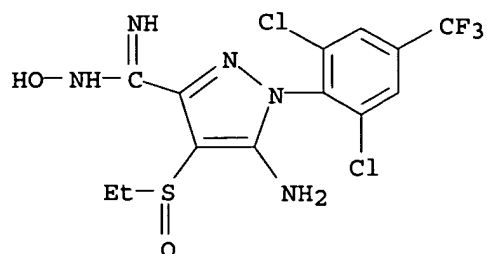
CN Ethanone, 1-[5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylsulfinyl)-1H-pyrazol-3-yl]-, oxime (9CI) (CA INDEX NAME)



RN 209965-85-5 CAPLUS

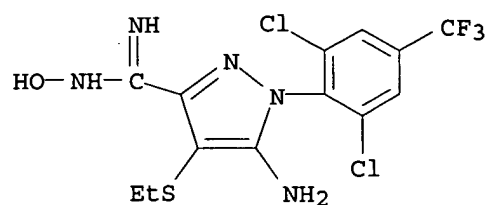
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylsulfinyl)-N-hydroxy- (9CI) (CA INDEX NAME)

10/608,333



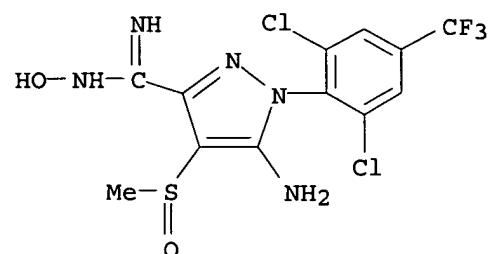
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CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylthio)-N-hydroxy- (9CI) (CA INDEX NAME)



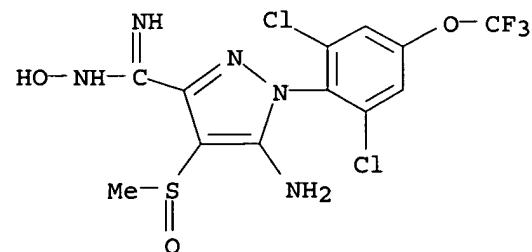
RN 209965-87-7 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



RN 209965-88-8 CAPLUS

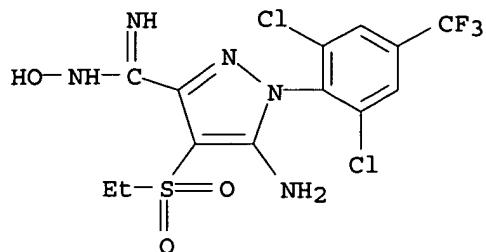
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



10/608,333

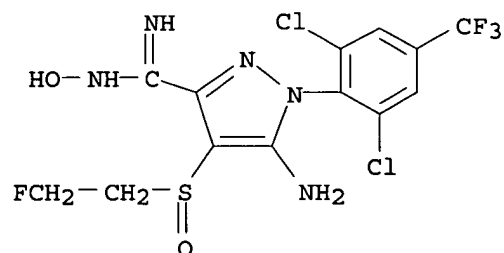
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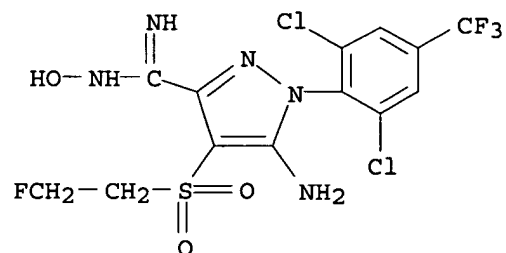
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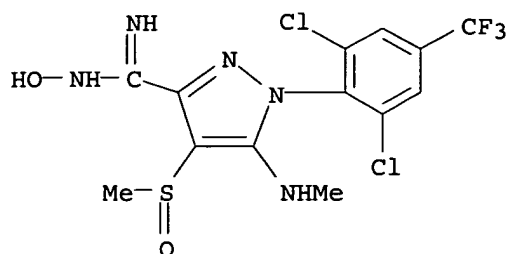
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CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[(2-fluoroethyl)sulfonyl]-N-hydroxy- (9CI) (CA INDEX NAME)



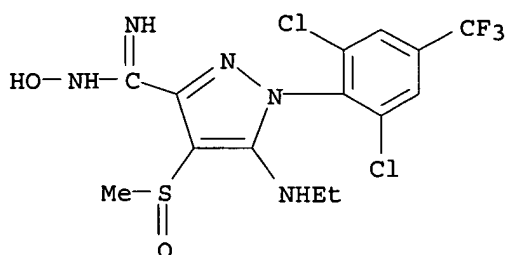
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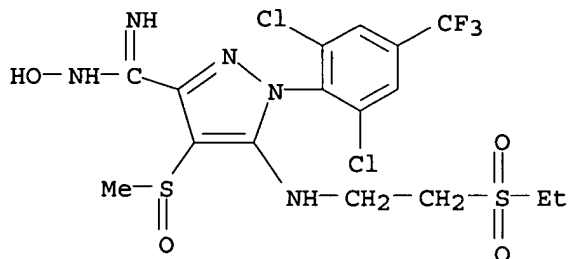
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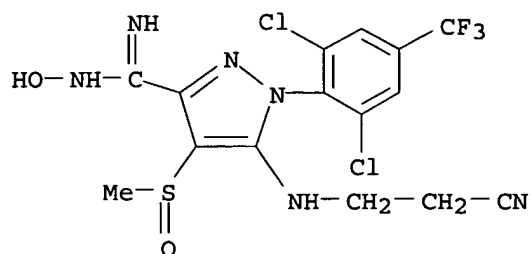
RN 209965-98-0 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-[[2-(ethylsulfonyl)ethyl]amino]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



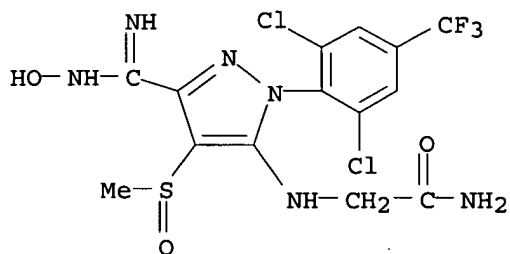
RN 209965-99-1 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-[(2-cyanoethyl)amino]-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



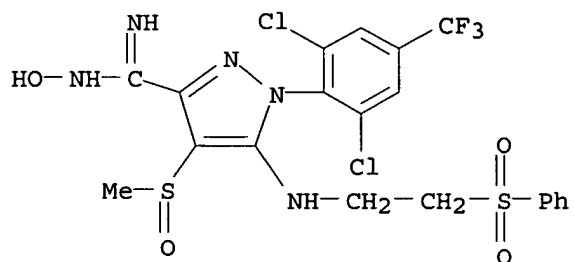
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CN Acetamide, 2-[[1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-3-[(hydroxyamino)iminomethyl]-4-(methylsulfinyl)-1H-pyrazol-5-yl]amino]- (9CI) (CA INDEX NAME)



RN 209966-01-8 CAPLUS

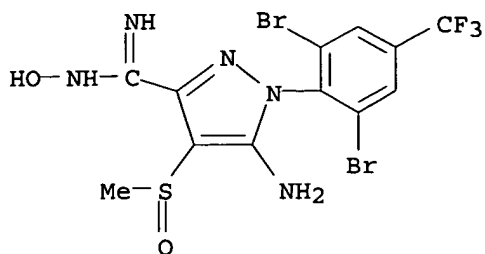
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)-5-[[2-(phenylsulfonyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 209966-02-9 CAPLUS

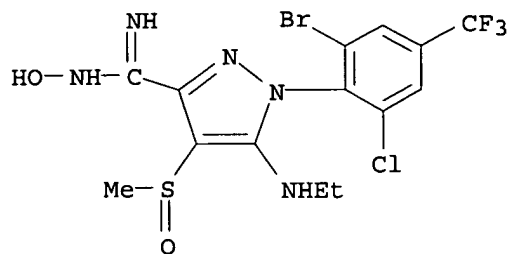
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dibromo-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)

10/608,333



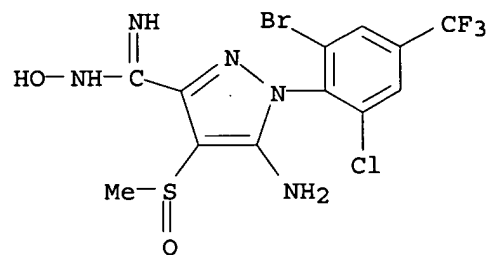
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CN 1H-Pyrazole-3-carboximidamide, 1-[2-bromo-6-chloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



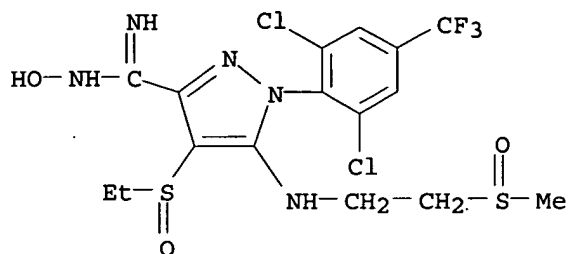
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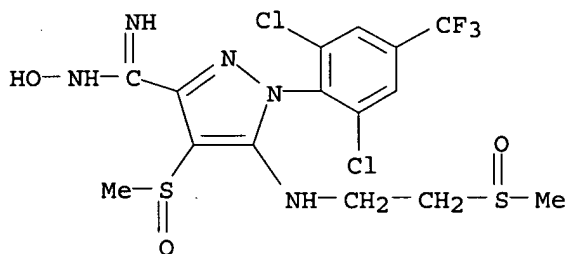
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CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylsulfinyl)-N-hydroxy-5-[[2-(methylsulfinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



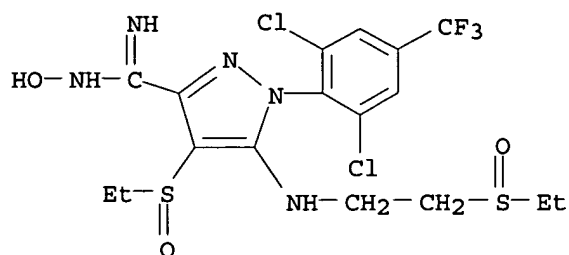
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CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)-5-[[2-(methylsulfinyl)ethyl]amino]- (9CI)
(CA INDEX NAME)



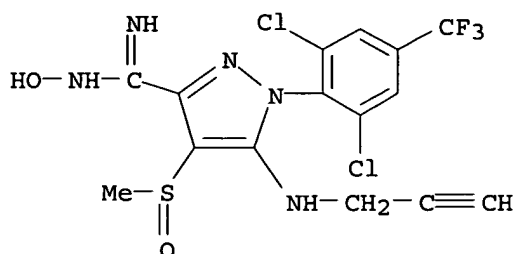
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CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(ethylsulfinyl)-5-[[2-(ethylsulfinyl)ethyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



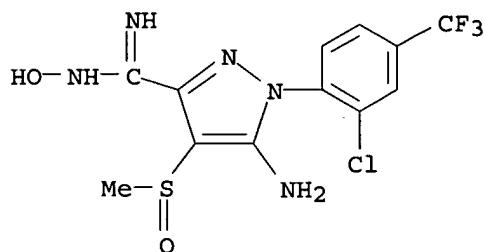
RN 209966-08-5 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)-5-(2-propynylamino)- (9CI) (CA INDEX NAME)

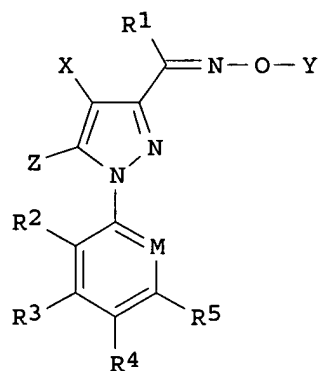


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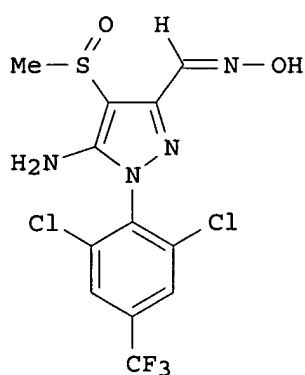
CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(methylsulfinyl)- (9CI) (CA INDEX NAME)



GI



I



II

AB The invention relates to novel 1-arylpyrazole oxime derivs. I [X = S(O)mR6 or R7; Y = H, alkenyl, alkynyl, CHO, aroyl, arylsulfonyl, (un)substituted alkyl or haloalkyl; Z = H, halo, COR7, alkyl, S(O)nR8, CHO, CH:NOH, amino, etc.; R1 = H, alkyl, (di)(alkyl)amino; R2 = H, halo; R3, R5 = H, halo, alkyl; R4 = halo, haloalkyl, haloalkoxy, haloalkylthio, -sulfinyl, -sulfonyl, SF5; R6 = (halo)alk(en/yn)yl, cycloalkyl; R7 = alkyl, haloalkyl; R8 = R7, Ph; m, n = 0, 1, 2; N = C-halo, CMe, C(CH2F), C(CH2Cl), C(NO2), or N] and addnl. analogs. The compds. are generally safe systemic insecticides (no data) for control of arthropod, nematode, helminth, or protozoan pests. Also disclosed are compns. and derivs. For

instance, the nitrile 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-(methylsulfinyl)-1H-pyrazole-3-carbonitrile was reduced to the aldehyde using (iso-Bu)2AlH, and the aldehyde was converted to the oxime with NH2OH.HCl and pyridine, to give title compound II.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:533617 CAPLUS

DOCUMENT NUMBER: 127:220657

TITLE: Preparation of arylpyrazole insecticides

INVENTOR(S): Kando, Yasuyuki; Kiji, Toshiyuki; Akayama, Atsuo; Noguchi, Makoto

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan; Kando, Yasuyuki; Kiji, Toshiyuki; Akayama, Atsuo; Noguchi, Makoto

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9728126	A1	19970807	WO 1997-JP190	19970129
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9715557	A1	19970822	AU 1997-15557	19970129
JP 10152476	A2	19980609	JP 1997-15036	19970129
EP 879229	A1	19981125	EP 1997-901762	19970129
EP 879229	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1210518	A	19990310	CN 1997-191968	19970129
BR 9707473	A	19990727	BR 1997-7473	19970129
AT 227269	E	20021115	AT 1997-901762	19970129
ES 2187751	T3	20030616	ES 1997-901762	19970129
US 6316477	B1	20011113	US 1998-117231	19980724
PRIORITY APPLN. INFO.:			JP 1996-14576	A 19960130
			JP 1996-256261	A 19960927
			WO 1997-JP190	W 19970129

OTHER SOURCE(S): MARPAT 127:220657

IT 194941-29-2P 194941-31-6P 194941-33-8P

194941-36-1P 194941-37-2P 194941-49-6P

194941-51-0P 194941-53-2P 194941-55-4P

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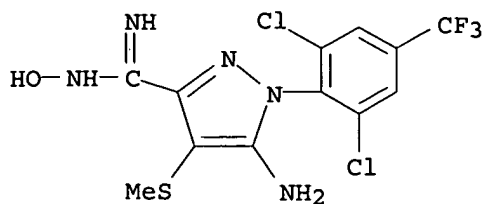
194941-81-6P 194941-82-7P 194941-83-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of arylpyrazole insecticides)

RN 194941-29-2 CAPLUS

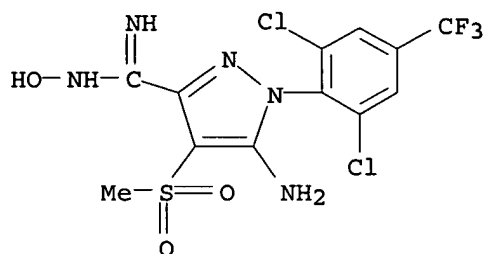
10/608,333

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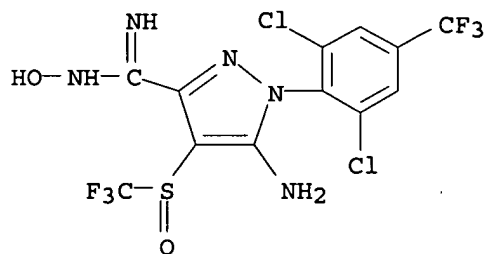
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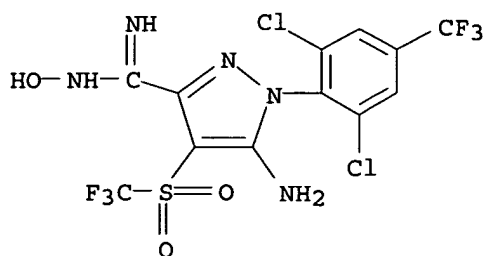
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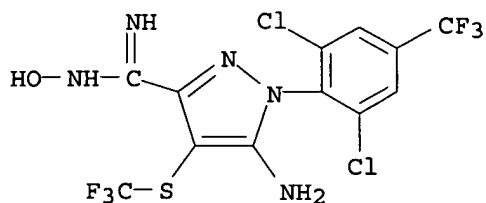
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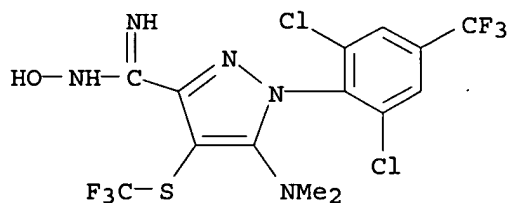
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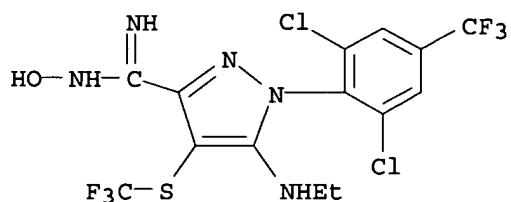
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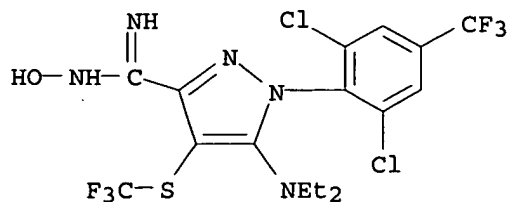
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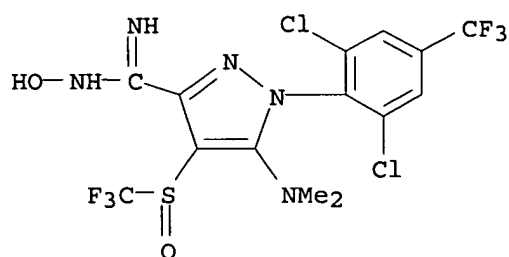


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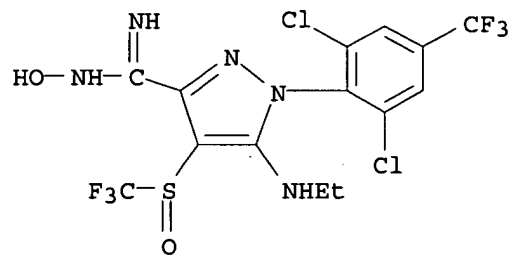
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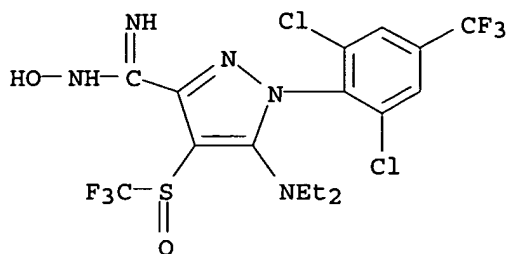
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RN 194941-57-6 CAPLUS
 CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI) (CA INDEX NAME)

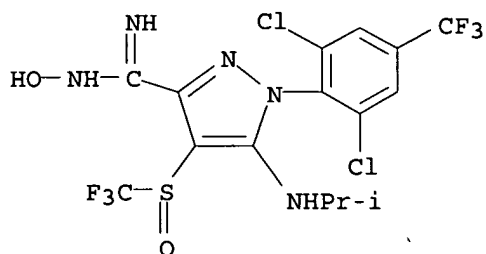


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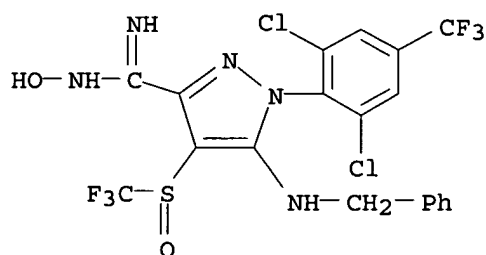
RN 194941-59-8 CAPLUS

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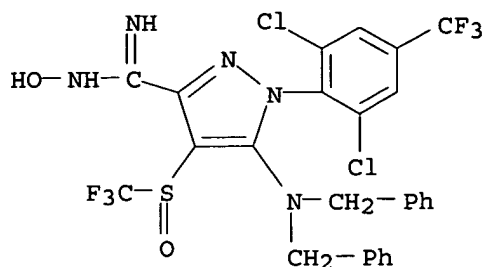
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CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-5-[(phenylmethyl)amino]-4-[(trifluoromethyl)sulfinyl]- (9CI)
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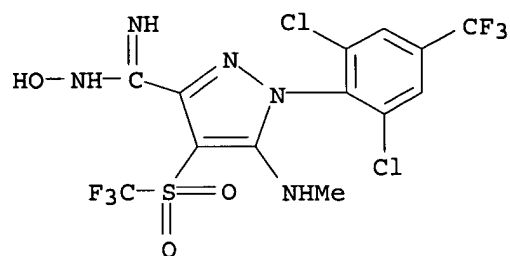
RN 194941-61-2 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-[bis(phenylmethyl)amino]-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-[(trifluoromethyl)sulfinyl]- (9CI)
(CA INDEX NAME)



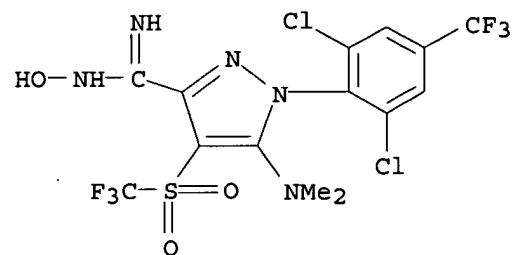
RN 194941-62-3 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-5-(methylamino)-4-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 194941-63-4 CAPLUS

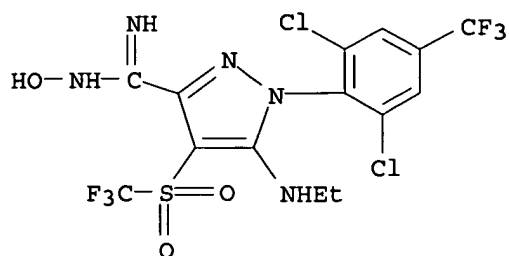
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(dimethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 194941-64-5 CAPLUS

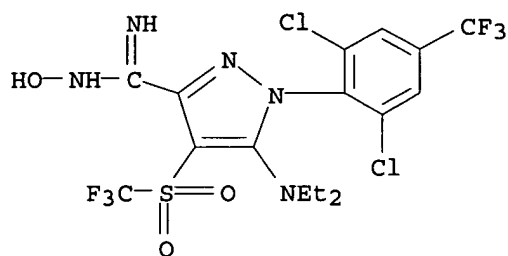
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(ethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)

10/608,333



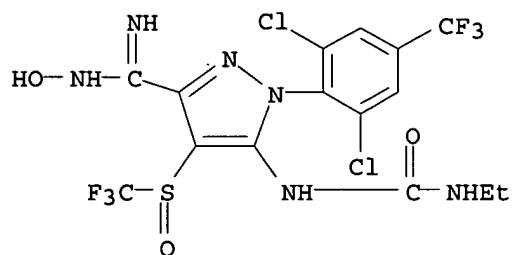
RN 194941-65-6 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(diethylamino)-N-hydroxy-4-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 194941-81-6 CAPLUS

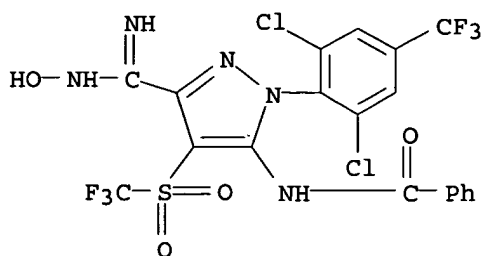
CN 1H-Pyrazole-3-carboximidamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-[[[(ethylamino) carbonyl] amino]-N-hydroxy-4-[(trifluoromethyl) sulfinyl]- (9CI) (CA INDEX NAME)



RN 194941-82-7 CAPLUS

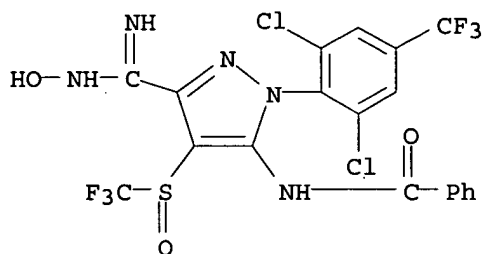
CN Benzamide, N-[1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-3-[(hydroxyamino)iminomethyl]-4-[(trifluoromethyl)sulfonyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

10/608,333



RN 194941-83-8 CAPLUS

CN Benzamide, N-[1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-3-[(hydroxyamino)iminomethyl]-4-[(trifluoromethyl)sulfinyl]-1H-pyrazol-5-yl]-(9CI) (CA INDEX NAME)

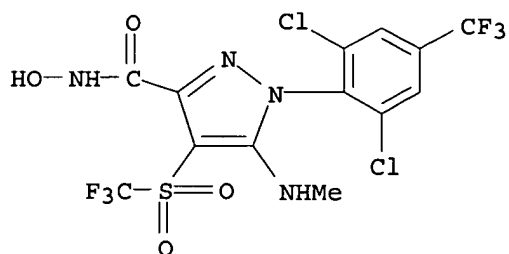


IT 194942-39-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of arylpyrazole insecticides)

RN 194942-39-7 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-5-(methylamino)-4-[(trifluoromethyl)sulfonyl]-(9CI) (CA INDEX NAME)

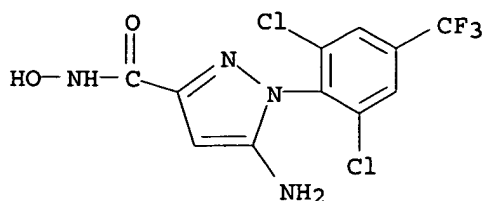


IT 194942-34-2P

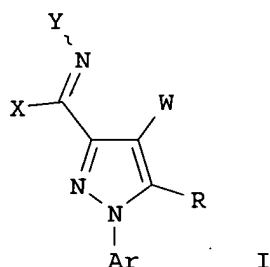
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylpyrazole insecticides)

RN 194942-34-2 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-(9CI) (CA INDEX NAME)



GI



AB The title compds. [I; Ar = aromatic hydrocarbon group, aromatic heterocyclic group; R = H, halo, group bonded through C, N, O, S or P; W = halo, group bonded through C, N, O, S or P; X = H, group bonded through C, N, O or S; Y = H, group bonded through C, N, O, S or P; XY = together with the adjacent nitrogen atom to Y may form an optionally substituted nitrogen-containing heterocyclic group which may further have N, O, S and/or P] which are effective in preventing sanitary or horticultural insect pests and animal and plant parasites and can exert potent insecticidal activities when they are applied to harmed living animals or plants, were prepared. Moreover, the compds. I possess safe and advantageous properties as agents for preventing sanitary, horticultural or agricultural injurious insects, such as no substantial damage on plants and less toxicity against fishes. Thus, reaction of 5-amino-3-cyano-1-(2,6-dichloro-4-trifluoromethylphenyl)-4-methylsulfonylpyrazole with $\text{H}_2\text{NOH} \cdot \text{HCl}$ in the presence of Et_3N in dioxane afforded 87% I [Ar = 2,6-dichloro-4-trifluoromethylphenyl; R = NH_2 ; W = SO_2Me ; X = NH_2 ; Y = OH] which showed 100% mortality against *Chilo suppressalis* with no damage to young rice seedlings.

L4 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:324628 CAPLUS

DOCUMENT NUMBER: 127:65719

TITLE: Reaction of 4-hydroxy-5-oximino-3-thiophenecarboxylates with hydrazines. Formation of pyrazolylthiohydroxamic acids

AUTHOR(S): Robey, R. L.; Alt, C. A.; Van Meter, E. E.

CORPORATE SOURCE: Lilly Research Laboratories, Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, 46285, USA

SOURCE: Journal of Heterocyclic Chemistry (1997), 34(2), 413-428

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

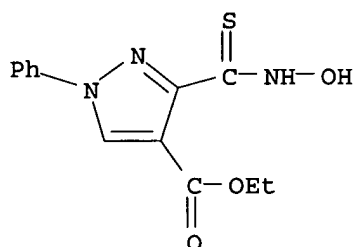
IT 191418-77-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolylthiohydroxamic acids by reaction of hydroxyoximinothiophenecarboxylates with hydrazines)

RN 191418-77-6 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 3-[(hydroxyamino)thioxomethyl]-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



AB The reactions of 4-hydroxy-5-oximino-3-thiophenecarboxylates with hydrazine and substituted hydrazines have been investigated. The product of the reactions have been shown to be pyrazole-3- or 5-thiohydroxamic acids rather than the hydrazones previously described by Benary and Silberstrom (1919). Two alternate mechanisms are proposed which account for the regiochem. outcome. The structures of the pyrazole-3- and 5-thiohydroxamic acids and corresponding nitriles have been proven by independent synthesis, comparison to known compds., and by proton and carbon magnetic resonance and long range HETCOR expts.

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:72214 CAPLUS

DOCUMENT NUMBER: 126:89367

TITLE: Preparation of pyrazole derivatives as insecticides

INVENTOR(S): Kando, Yasuyuki; Kiji, Toshuki; Noguchi, Makoto; Manabe, Yukiaki

PATENT ASSIGNEE(S): Takeda Chemical Industries Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08311036	A2	19961126	JP 1996-4929	19960116
			JP 1995-54820	A 19950314

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 126:89367

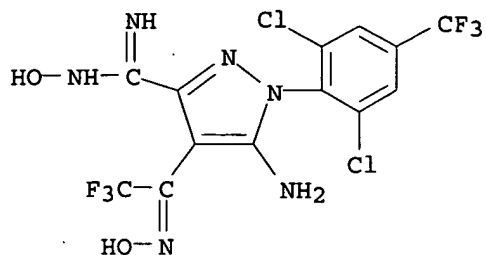
IT 185615-33-2P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazole derivs. as insecticides)

RN 185615-33-2 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-

(trifluoromethyl)phenyl]-N-hydroxy-4-[2,2,2-trifluoro-1-(hydroxyimino)ethyl]- (9CI) (CA INDEX NAME)

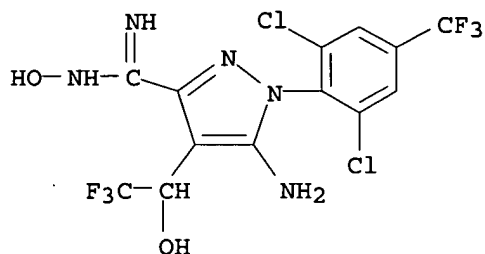


IT 185615-32-1P 185617-32-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrazole derivs. as insecticides)

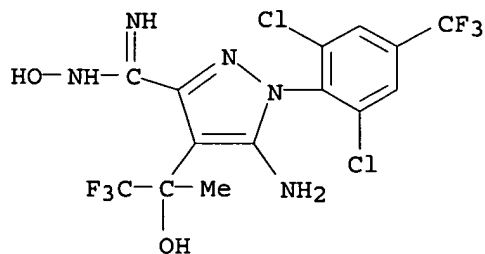
RN 185615-32-1 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(2,2,2-trifluoro-1-hydroxyethyl)- (9CI) (CA INDEX NAME)

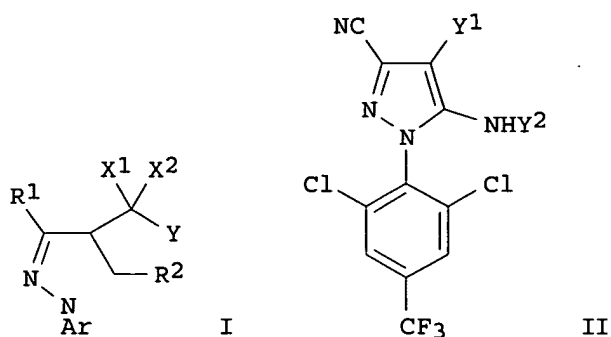


RN 185617-32-7 CAPLUS

CN 1H-Pyrazole-3-carboximidamide, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-hydroxy-4-(2,2,2-trifluoro-1-hydroxy-1-methylethyl)- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; Ar = (un)substituted aromatic hydrocarbyl or heterocycle; R1 = H, halo, NO₂, OH, cyano, (un)substituted hydrocarbyl, etc.; R2 = H, halo, NO₂, OH, cyano, (un)substituted hydrocarbyl, alkoxy, etc.; X1 = (un)substituted haloalkyl; X2 = H, radical containing C, N, O, S, or P; Y = radical containing O, N, S, or P, (un)substituted aryl, etc.; X2 and Y may together form a hydroxyimino, heterocycle, etc.; R2 and Y may together represent substituted C2-4 alkylene or alkenylene containing O, N, S, or P, etc.] are prepared Insecticides containing I are also claimed. Thus, I (Y1 = Y2 = H) was reacted with (F₃CCO)₂O in the presence of pyridine to give 38% the title compound II (Y1 = Y2 = F₃CCO) (III). III at 100 ppm killed 100% *Chilo suppressalis* at 3rd-instar larvae.

L4 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:281619 CAPLUS

DOCUMENT NUMBER: 124:317155

TITLE: Preparation of halopyrazolecarboxylic acids as herbicides

INVENTOR(S): Sato, Kazuo; Kudo, Noriaki; Pponma, Toyokuni; Endo, Takeshi; Kadotani, Junji; Horibe, Yoshimichi

PATENT ASSIGNEE(S): Sankyo Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08012654	A2	19960116	JP 1994-144235	19940627
PRIORITY APPLN. INFO.:			JP 1994-144235	19940627

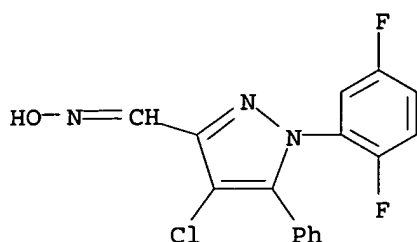
OTHER SOURCE(S): MARPAT 124:317155

IT 176232-74-9P

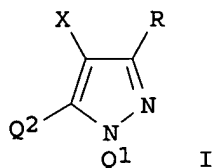
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of halopyrazolecarboxylic acids as herbicides)

RN 176232-74-9 CAPLUS

CN 1H-Pyrazole-3-carboxaldehyde, 4-chloro-1-(2,5-difluorophenyl)-5-phenyl-, oxime (9CI) (CA INDEX NAME)



GI



AB The title compds. I [R = carboxyl, etc.; X = halo; Q1 = Ph, pyridinyl; Q2 = Ph, etc.] are prepared I [X = Cl; Q1 = Q2 = phenyl; R = CO₂Me] (m.p. 153 - 155°) (at 10 g/are) gave 91 - 100% control of *Echinochloa oryzicola* and *Scirpus juncoides* and caused no damage to rice plants.

L4 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:101951 CAPLUS

DOCUMENT NUMBER: 118:101951

TITLE: Imidazole pesticides

INVENTOR(S): Willis, Robert John; O'Mahony, Mary Josephine; Roberts, Bryan Glyn; Marlow, Ian David; Boddy, Ian Kenneth

PATENT ASSIGNEE(S): Schering Agrochemicals Ltd., UK

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9213451	A1	19920820	WO 1992-GB233	19920210
W: AU, BG, BR, CA, CS, FI, HU, JP, KR, PL, RO, RU, SD, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9211912	A1	19920907	AU 1992-11912	19920210
PRIORITY APPLN. INFO.:			GB 1991-2834	A 19910211
			GB 1991-2835	A 19910211
			GB 1991-2838	A 19910211
			GB 1991-2841	A 19910211
			GB 1991-2847	A 19910211
			GB 1991-2848	A 19910211
			GB 1991-2857	A 19910211
			GB 1991-14712	A 19910708
			GB 1991-17822	A 19910817

OTHER SOURCE(S) :

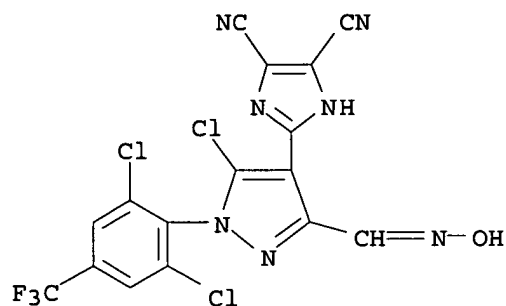
MARPAT 118:101951

IT 144910-97-4P

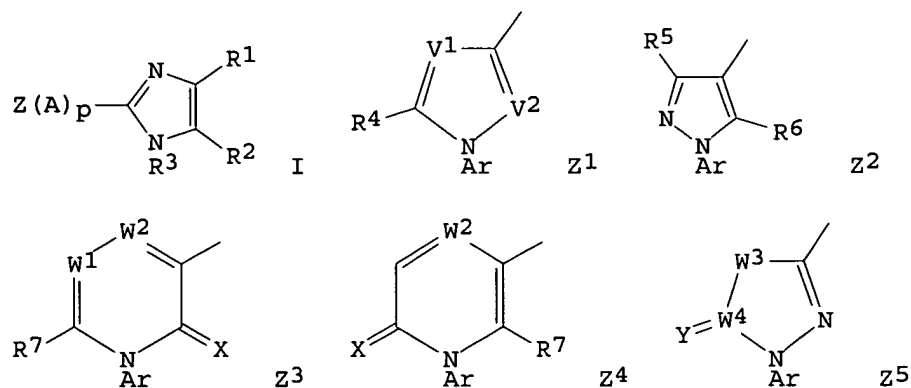
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and pesticidal activity of)

RN 144910-97-4 CAPLUS

CN 1H-Imidazole-4,5-dicarbonitrile, 2-[5-chloro-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-3-[(hydroxyimino)methyl]-1H-pyrazol-4-yl]- (9CI)
(CA INDEX NAME)



GI



AB Imidazoles I [Z = N-containing heterocycle Z1-Z5; Ar = aryl; V1 = N, CR9; V2 = N, CR10; W1 = N, CR8; W2 = N, CR11; W1 and W2 are not both N; W3 = O, S, NR40, CR41:CR42; X = O, S; Y = O, S, NR12; W4 = C, S (when Y = O); A = S(O)m, O, NR13; R1, R2 = H, alkyl, -CN, halo, NO2; R3 = H, alkyl, acyl, alkoxy, carbonyl, sulfamoyl; R5 = H, halo, alkyl, alkoxy, NR16R17, -CN, NO2, SO2NR16R17, CYNR16R17, CO2R18, R19S(O)m; R4, R10 = H, halo, OH, SH, -CN, NO2, alkyl, alkoxy, NR16R17, SO2NR16R17, CHO, CH2OH, CO2R18, R19S(O)m; R6 = alkyl, OH, alkoxy, -CN, NO2, R19S(O)m, 5-membered heteroaryl; R7, R8, R11 = H, halo, alkyl, alkylthio; R9 = H, halo, alkyl, formyl, alkoxy, aryl, cyano, NO2, OH, trialkylsiloxy, CYNR16R17, CO2R18, R19S(O)m; R12, R13 = H, alkyl, acyl; R16, R17 = H, alkyl, acyl, aryl; NR16R17 = N-containing ring; R18 = H, alkyl; R19 = alkyl; R40 = H, alkyl, acyl; R41, R42 = H,

alkyl; m = 0, 1, 2; p = 0 or 1 when Z = Z1 or Z2 and is 0 when Z = Z3-Z5] were prepared. Thus 0.53 g 3-[(2-amino-1,2-dicyanoethenylimino)methyl]-1-(2,6-dichloro-4-trifluoromethylphenyl)-2,5-dimethylpyrrole was cyclized in the presence of 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (0.28 g) in dioxane under reflux for 6 h to give 1-(2,6-dichloro-4-trifluoromethylphenyl)-3-(4,5-dicyano-1H-imidazol-2-yl)-2,5-dimethylpyrrole. Many examples of I were active insecticides, acaricides, and endoparasitocides in tests (sheep blow fly, blue tick, house fly, cockroach; *Trichostrongylus colubriformis*).

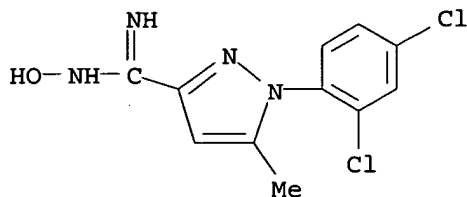
L4 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:153721 CAPLUS
DOCUMENT NUMBER: 112:153721
TITLE: Preparation of pyrazolecarboxylic acid derivatives as herbicide antidotes for crops
INVENTOR(S): Sohn, Erich; Mildenberger, Hilmar; Bauer, Klaus; Bieringer, Hermann
PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 333131	A1	19890920	EP 1989-104500	19890314
EP 333131	B1	19931027		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
DE 3808896	A1	19890928	DE 1988-3808896	19880317
AT 96273	E	19931115	AT 1989-104500	19890314
ES 2059596	T3	19941116	ES 1989-104500	19890314
CN 1035752	A	19890927	CN 1989-101371	19890315
ZA 8901960	A	19891025	ZA 1989-1960	19890315
DD 283538	A5	19901017	DD 1989-326620	19890315
SU 1836012	A3	19930823	SU 1989-4613651	19890315
IL 89620	A1	19941229	IL 1989-89620	19890315
DK 8901286	A	19890918	DK 1989-1286	19890316
AU 8931373	A1	19890921	AU 1989-31373	19890316
AU 617771	B2	19911205		
BR 8901210	A	19891031	BR 1989-1210	19890316
JP 01283274	A2	19891114	JP 1989-62325	19890316
CA 1338071	A1	19960220	CA 1989-593977	19890316
HU 49785	A2	19891128	HU 1989-1261	19890317
HU 209734	B	19941028		
AU 9184614	A1	19911114	AU 1991-84614	19910920
AU 634421	B2	19930218		
US 5401700	A	19950328	US 1992-912659	19920713
US 5945541	A	19990831	US 1994-356659	19941215
PRIORITY APPLN. INFO.:			DE 1988-3808896	A 19880317
			EP 1989-104500	A 19890314
			US 1989-324300	B1 19890315
			US 1992-912659	A3 19920713
OTHER SOURCE(S):	CASREACT 112:153721; MARPAT 112:153721			
IT 126068-72-2P				
RL:	SPN (Synthetic preparation); PREP (Preparation) (preparation of, as herbicides antidote, for crops)			
RN 126068-72-2 CAPLUS				
CN 1H-Pyrazole-3-carboximidamide, 1-(2,4-dichlorophenyl)-N-hydroxy-5-methyl-				

10/608,333

(9CI) (CA INDEX NAME)



IT 126068-73-3

RL: BIOL (Biological study)

(safened herbicidal composition, for crops)

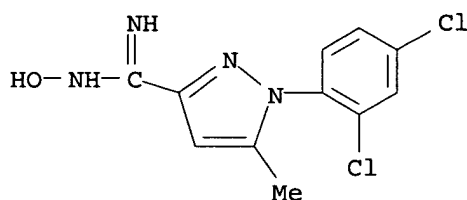
RN 126068-73-3 CAPLUS

CN Propanoic acid, 2-[4-[(6-chloro-2-benzoxazolyl)oxy]phenoxy]-, ethyl ester, mixt. with 1-(2,4-dichlorophenyl)-N-hydroxy-5-methyl-1H-pyrazole-3-carboximidamide (9CI) (CA INDEX NAME)

CM 1

CRN 126068-72-2

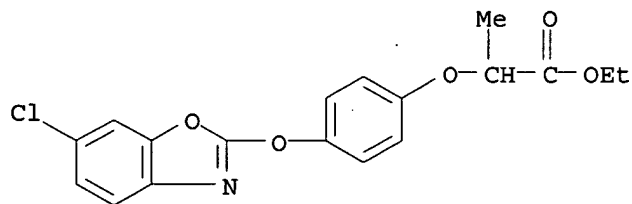
CMF C11 H10 Cl2 N4 O



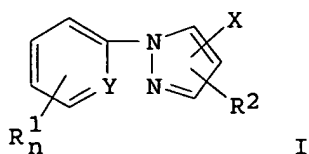
CM 2

CRN 66441-23-4

CMF C18 H16 Cl N O5



GI



AB The title compds. I (Y = CH, N; R₁ = halo, C₁-4-alkyl, -haloalkyl, -alkoxy, etc.; R₂ = C₁-12 alkyl, C₃-7 cycloalkyl; X = CN, CO₂R₃, COSR₃, etc.; R₃ = H, alkali or alkaline-earth metal, alkyl, etc.; n = 1-3) are prepared; I can be used in combination with known herbicides, such as phenoxyphenoxy- or heteroaryloxyphenoxycarboxylic esters, chloracetanilides, thiocabamates, and dimedon derivs. I (R_{1n} = 4-Cl, R₂ = 5-Me, X = 3-CO₂Et) (II) was prepared by reacting Et acetylpyruvate with 4-chlorophenylhydrazine. II (2.5 kg/ha) was tested in combination with fenoxaprop-Et (2 kg/ha) in 800 L aqueous suspension on *Triticum aestivum*, and resulted in 15% damage to the crops, compared with 80% when using the herbicide alone.

L4 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1972:448115 CAPLUS

DOCUMENT NUMBER: 77:48115

TITLE: Acylarylnitrosamines. VI. Anomalous reactions with 2,5-dimethylfuran. Formation of 2-benzyl-5-methylfurans and 3-acetyl-1-aryl-4-(aryloxy)-5-methylpyrazoles

AUTHOR(S): Cadogan, J. I. G.; Mitchell, J. R.; Sharp, J. T.

CORPORATE SOURCE: Dep. Chem., Univ. Edinb., Edinburgh, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1972), (11), 1304-10

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

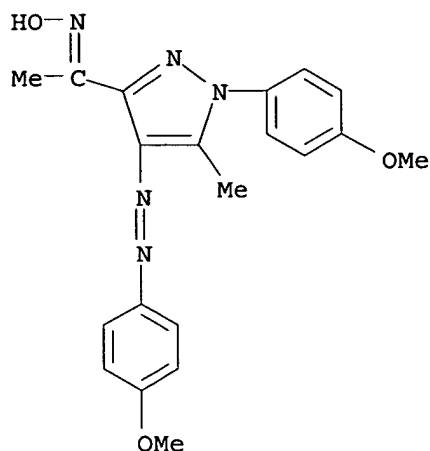
IT 36845-73-5P 36845-82-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

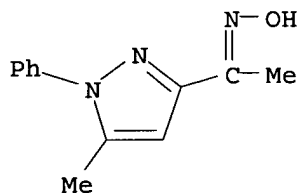
RN 36845-73-5 CAPLUS

CN Ethanone, 1-[1-(4-methoxyphenyl)-4-[(4-methoxyphenyl)azo]-5-methyl-1H-pyrazol-3-yl]-, oxime (9CI) (CA INDEX NAME)

10/608,333



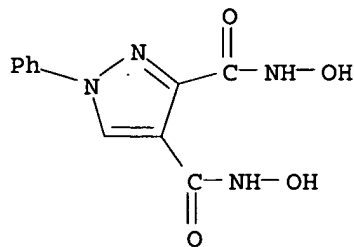
RN 36845-82-6 CAPLUS
CN Ethanone, 1-(5-methyl-1-phenyl-1H-pyrazol-3-yl)-, oxime (9CI) (CA INDEX NAME)



AB RC6H4N(NO)COR1(R = H, or m- or p-CO2Et, MeO-, -Me, R1 = Me; R = H, R1 = p-ClC6H4) reacted with 2,5-dimethylfuran (I) in excess C6H6 at room temperature;
e.g. PhN(NO)Ac with I in C6H6 gave 27% 2-benzyl-5-methylfuran (II) and 20% 3-acetyl-5-methyl-1-phenyl-4-(phenylazo)-pyrazole (III). II may be formed by π -complexing of the diazonium cation with I, the side-chain protons of which then become sufficiently acidic to be removed by the AcO⁻ counter ion. II may be formed by azo coupling at a vacant 3-position, followed by consecutive ring opening, further coupling, and cyclization under the influence of the diazonium acetate ion pair.

L4 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1967:490758 CAPLUS
DOCUMENT NUMBER: 67:90758
TITLE: Pyrazolo-N-hydroxyuracils from the modified Lossen rearrangement of vicinal pyrazoledicarbohydroxamates
AUTHOR(S): Bauer, Ludwig; Mahajanshetti, Chennabasappa S.
CORPORATE SOURCE: Univ. of Illinois Med. Center, Chicago, IL, USA
SOURCE: Journal of Heterocyclic Chemistry (1967), 4(3), 325-34
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 17284-61-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(Lossen rearrangement of)
RN 17284-61-6 CAPLUS
CN Pyrazole-3,4-dicarbohydroxamic acid, 1-phenyl-, disodium salt (8CI) (CA

INDEX NAME)



●2 Na

GI For diagram(s), see printed CA Issue.

AB The reaction of 1-phenyl-3,4- and 4,5-pyrazoledicarbohydroxamates, (I) and (II), with benzene- and methanesulfonyl chlorides is reported. Each hydroxamate yielded two isomeric N-phenyl-N-hydroxypyrimidinediones whose structures were established. The N.M.R. spectra of a number of isomeric pyrazole derivs. are discussed. 23 references.

L4 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1963:3269 CAPLUS

DOCUMENT NUMBER: 58:3269

ORIGINAL REFERENCE NO.: 58:516b-c

TITLE: Reactions of hydroxymethylene ketones. I. Synthesis of isoxazoles and pyrazoles from cinnamoylacetaldehyde and its derivatives

AUTHOR(S): Mina, George Attalah; Rateb, Latif; Soliman, Gabra

CORPORATE SOURCE: Univ. Alexandria, Egypt

SOURCE: Journal of the Chemical Society, Abstracts (1962)
4234-41

CODEN: JCSAAZ; ISSN: 0590-9791

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

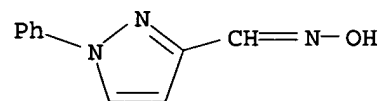
OTHER SOURCE(S): CASREACT 58:3269

IT 90946-07-9, Pyrazole-3-carboxaldehyde, 1-phenyl-, oxime

92289-45-7, Ketone, methyl 1-phenylpyrazol-3-yl, oxime
(preparation of)

RN 90946-07-9 CAPLUS

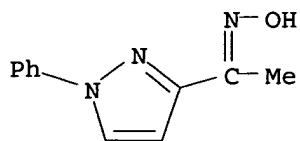
CN Pyrazole-3-carboxaldehyde, 1-phenyl-, oxime (7CI) (CA INDEX NAME)



RN 92289-45-7 CAPLUS

CN Ketone, methyl 1-phenylpyrazol-3-yl, oxime (7CI) (CA INDEX NAME)

10/608,333



AB The sodium salts of cinnamoylactaldehyde and its α -methyl and α -phenyl derivative have been prepared and used in syntheses of 3- and 5-styrylisoxazoles and 1-phenyl-3- and 5-styrylpyrazoles. The structural formulas of the intermediate monoximes, diisoxazolinyldhydroxylamines, and 5-hydroxyaminoisoxazolines are discussed. The isomeric styrylisoxazoles and pyrazoles have been differentiated by oxidation to acidic and ketonic derivs.

=> log y

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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TOTAL
SESSION

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alerts (SDIs) affected

NEWS	12 DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
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STRUCTURE FILE UPDATES:    13 FEB 2005    HIGHEST RN 830317-64-1
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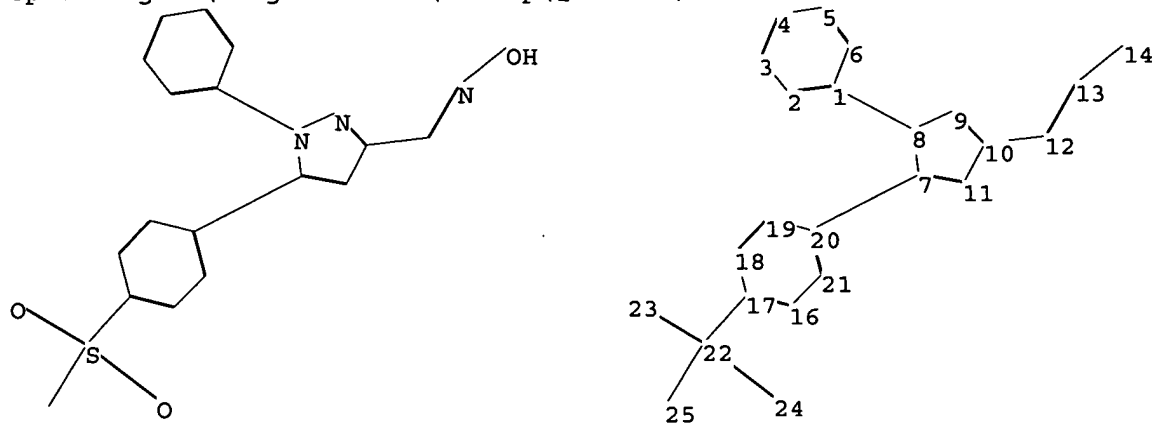
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

10/608,333

<http://www.cas.org/ONLINE/DBSS/registryss.html>

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Uploading C:\Program Files\Stnexp\Queries\106083331.str



chain nodes :

12 13 14 22 23 24 25

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 16 17 18 19 20 21

chain bonds :

1-8 7-20 10-12 12-13 13-14 17-22 22-23 22-24 22-25

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 16-17 16-21 17-18

18-19 19-20 20-21

exact/norm bonds :

1-8 7-8 8-9 9-10 12-13 13-14 17-22 22-23 22-24 22-25

exact bonds :

7-11 7-20 10-11 10-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

isolated ring systems :

containing 1 : 7 : 16 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
21:Atom 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

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100.0% PROCESSED 1 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 1 TO 80

10/608,333

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful
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FULL SCREEN SEARCH COMPLETED - 23 TO ITERATE

100.0% PROCESSED 23 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L3 2 SEA SSS FUL L1

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L4 3 L3

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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:252948 CAPLUS
DOCUMENT NUMBER: 140:423618
TITLE: Synthesis and Selective Cyclooxygenase-2 Inhibitory Activity of a Series of Novel, Nitric Oxide Donor-Containing Pyrazoles
AUTHOR(S): Ranatunge, Ramani R.; Augustyniak, Michael; Bandarage, Upul K.; Earl, Richard A.; Ellis, James L.; Garvey, David S.; Janero, David R.; Letts, L. Gordon; Martino, Allison M.; Murty, Madhavi G.; Richardson, Stewart K.; Schroeder, Joseph D.; Shumway, Matthew J.; Tam, S. William; Trocha, A. Mark; Young, Delano V.
CORPORATE SOURCE: NitroMed Inc., Bedford, MA, 01730, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(9),

2180-2193

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER:

American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

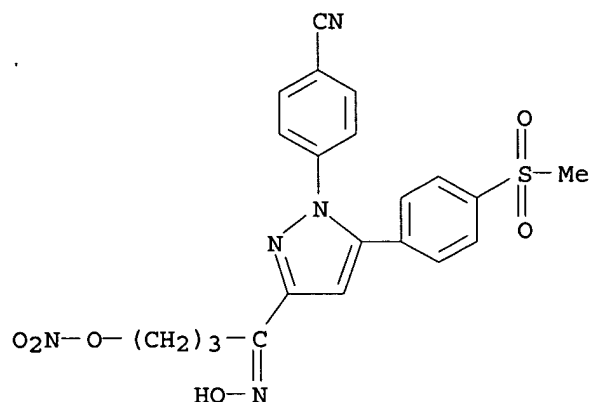
IT 640727-97-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

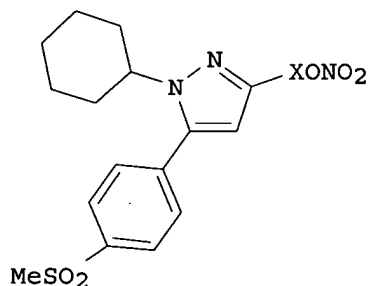
(preparation and selective cyclooxygenase-2 inhibitory activity of nitric oxide donor-containing pyrazoles)

RN 640727-97-5 CAPLUS

CN Benzonitrile, 4-[3-[1-(hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



I

AB The synthesis of a series of novel pyrazoles containing a nitrate (ONO₂) moiety as a nitric oxide (NO)-donor functionality is reported. Their COX-1 and COX-2 inhibitory activities in human whole blood are profiled. The data demonstrate that pyrazole ring substituents play an important role in COX-2 selective inhibition, such that a cycloalkylpyrazole (I, X = CH₂) was found to be a potent and selective COX-2 inhibitor. Other modifications at the 3 position of the central pyrazole ring [I, X = (CH₂)₃, C(:NOH)(CH₂)₃, (Z)-CH:CHCH₂CH₂] enhanced COX-2 inhibitory potency. Among the pyrazoles synthesized, the oxime [I, X = C(:NOH)(CH₂)₃] was identified as the most potent COX-2 selective inhibitor. Accordingly, this compound was profiled pharmacol. in the rat after oral administration

and shown to possess potent antiinflammatory activity in the carrageenan-induced air-pouch model and less gastric toxicity than a standard COX-2 inhibitor when administered with background aspirin treatment. The enhanced gastric tolerance of an NO-donor COX-2 selective inhibitor has the potential to augment the clin. profile of this drug class.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:20441 CAPLUS

DOCUMENT NUMBER: 140:77147

TITLE: Preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compositions and methods of use

INVENTOR(S): Garvey, David S.; Ranatunge, Ramani R.; Richardson, Stewart K.

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: PCT Int. Appl., 166 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002420	A2	20040108	WO 2003-US20421	20030630
WO 2004002420	A3	20040701		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-392044P	P 20020628

OTHER SOURCE(S): MARPAT 140:77147

IT 640727-83-9P, 1-[3-[1-(Hydroxyimino)-4-(nitrooxy)butyl]-1-phenylpyrazol-5-yl]-4-(methylsulfonyl)benzene 640727-97-5P, 4-[3-[1-(Hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]pyrazol-1-yl]benzenecarbonitrile

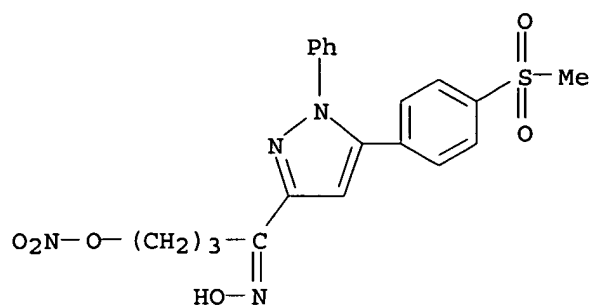
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compns. and methods of use)

RN 640727-83-9 CAPLUS

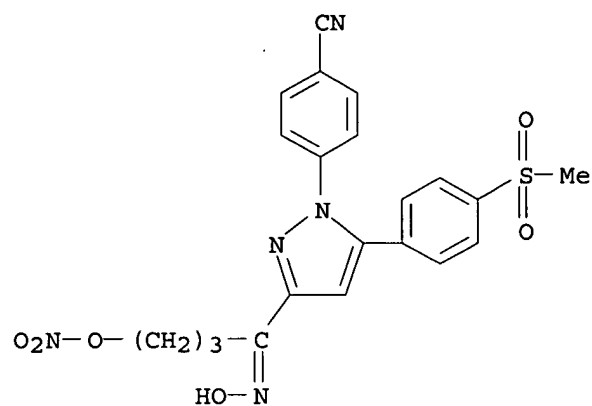
CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitrooxy)-, oxime (9CI) (CA INDEX NAME)

10/608,333

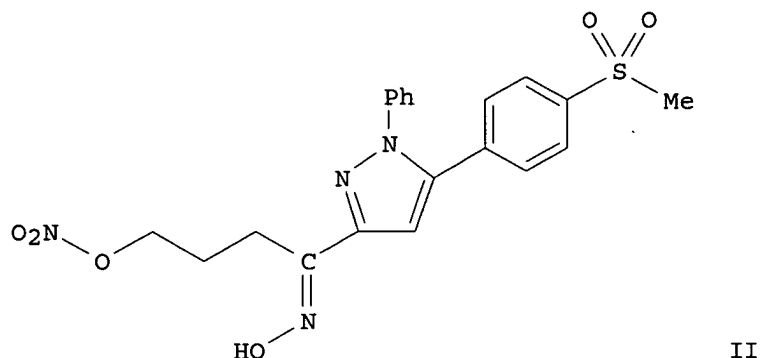
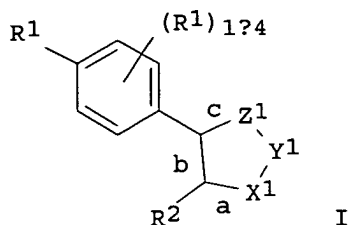


RN 640727-97-5 CAPLUS

CN Benzonitrile, 4-[3-[1-(hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors having at least one oxime group or hydrazone group optionally nitrosated and/or nitrosylated (one class shown as I; variables defined below; e.g. II; 15 other classes of compds. are also described in the 1st claim) and novel compns. and kits comprising at least one I and optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide synthase, and/or at least one therapeutic agent. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors. Six examples of I were tested for inhibition of COX-1 and COX-2; e.g. 1-[1-cyclohexyl-3-[1-(hydroxyimino)-4-(nitrooxy)butyl]pyrazol-4-yl]-4-(methylsulfonyl)benzene inhibited COX-1 10 % at 100 μ M and COX-2 100 % at 10 μ M. Although the methods of preparation are not claimed, 6 example preps. are included. For example, II was prepared in 7 steps (79, 68, 84, 79, 51, 84 and 48 % yields, resp.) starting from di-Me oxalate, NaOMe and 4'-(methylthio)acetophenone in toluene and involving intermediates Me (2Z)-2-hydroxy-4-(4-methylthiophenyl)-4-oxobut-2-enoate, Me 5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxylate, N-methoxy-N-methyl-5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxamide, 1-[5-(4-methylthiophenyl)-1-phenylpyrazol-3-yl]-4-(1,1,2,2-tetramethyl-1-silapropoxy)butan-1-one, 4-hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]butan-1-one, and 1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]-4-(nitrooxy)butan-1-one. For I: when side b is a double bond, and sides a and c are single bonds, -X1-Y1-Z1- is: -CR4(R5)CR5(R5')CR4(R5)-, -C(O)CR4(R4')CR5(R5')-, -CR4(R4')CR5(R5')C(O)-, -[CR5(R5')]KOC(O)-, etc.; when sides a and c are double bonds and side b is a single bond, -X1-Y1-Z1- is: :CR4OCR5:,

:CR4NR3CR5:, :NSCR4:, :CR4SN:, etc. R1 is S(O)2Me, S(O)2NR8(D1), S(O)2N(D1)C(O)CF3, S(O)(NH)NH(D1), S(O)(NH)N(D1)C(O)CF3, P(O)MeNH(D1), P(O)Me2, C(S)NH(D1), S(O)(NH)Me, P(O)MeOD1, or P(O)MeNH(D1); R1' is H, halo, Me, or CH2OH. R2 is lower alkyl, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, mono, di- or trisubstituted heteroaryl (wherein the heteroaryl is a monocyclic aromatic ring of 5 atoms, said ring having one heteroatom which is S, O, or N, and, optionally, 1-3 addnl. N atoms; or the heteroaryl is a monocyclic ring of 6 atoms, said ring having one heteroatom which is N, and, optionally, 1-4 addnl. N atoms), benzoheteroaryl, NR10R11, SR11, OR11, R11, alkenyl, alkynyl, unsubstituted, mono, di, tri- or tetrasubstituted cycloalkenyl, mono, di, tri- or tetrasubstituted heterocycloalkyl group of 5-7 members, or a benzoheterocycle, wherein said heterocycloalkyl or benzoheterocycle contains 1 or 2 heteroatoms selected from O, S, or N and, optionally, contains a carbonyl group or a sulfonyl group, styryl, mono or disubstituted styryl, phenylacetylene, mono- or disubstituted phenylacetylene, fluoroalkenyl, mono- or disubstituted bicyclic heteroaryl of 8-10 members, containing 2-5 heteroatoms (wherein at least one heteroatom resides on each ring of said bicyclic heteroaryl, said heteroatoms are each independently O, S and N), K, aryl, arylalkyl, cycloalkylalkyl, -C(O)R11, hydrogen, arylalkenyl, arylalkoxy, alkoxy, aryloxy, cycloalkoxy, arylthio, alkylthio, arylalkylthio, or cycloalkylthio. R3 is hydrogen, haloalkyl (preferably CF3), CN, lower alkyl, [C(Re)(Rf)]p-U-V, K, (un)substituted lower alkyl-Q, lower alkyl-O-lower alkyl-Q, etc., Q, alkylcarbonyl, arylcarbonyl, alkylarylcarbonyl, arylalkylcarbonyl, carboxylic ester, carboxamido, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, alkenyl, alkynyl, arylalkyl, lower alkyl-OD1, alkoxyalkyl, aminoalkyl, lower alkyl-CO2R10, lower alkyl-C(O)NR10(R10'), heterocyclic alkyl, or heterocyclic ring-C(O)-; with the proviso that one oxime or hydrazone group must be present; addnl. details are given in the claims.

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:20345 CAPLUS

DOCUMENT NUMBER: 140:77144

TITLE: Preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compositions and methods of use
INVENTOR(S): Ranatunge, Ramani R.; Garvey, David S.; Richardson, Stewart K.

PATENT ASSIGNEE(S): Nitromed, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 74 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004006133	A1	20040108	US 2003-608333	20030630
PRIORITY APPLN. INFO.:			US 2002-392044P	P 20020628

OTHER SOURCE(S): MARPAT 140:77144

IT 640727-83-9P 640727-97-5P

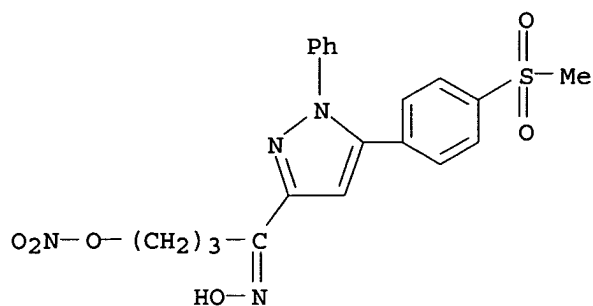
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of optionally nitrosated and/or nitrosylated oxime and/or hydrazone cyclooxygenase-2 selective inhibitors, compns. and methods of use)

RN 640727-83-9 CAPLUS

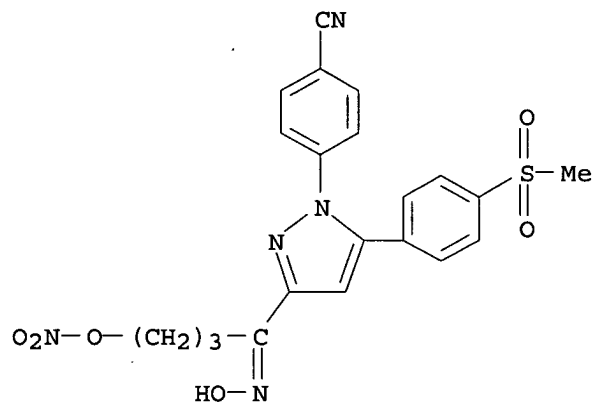
10/608,333

CN 1-Butanone, 1-[5-[4-(methylsulfonyl)phenyl]-1-phenyl-1H-pyrazol-3-yl]-4-(nitrooxy)-, oxime (9CI) (CA INDEX NAME)

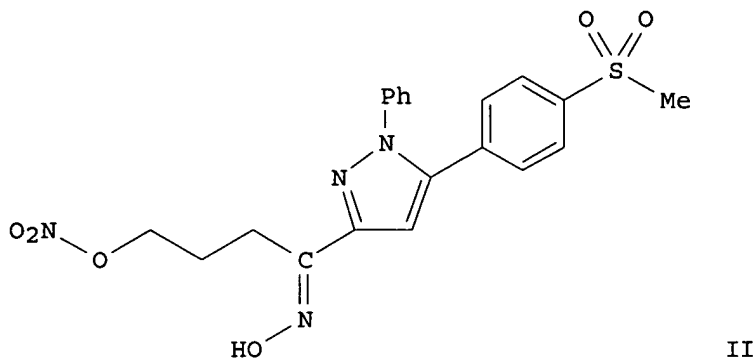
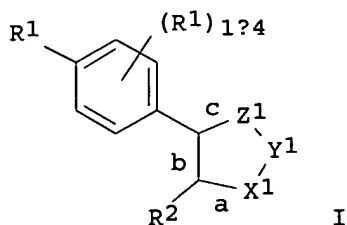


RN 640727-97-5 CAPLUS

CN Benzonitrile, 4-[3-[1-(hydroxyimino)-4-(nitrooxy)butyl]-5-[4-(methylsulfonyl)phenyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB The invention describes novel cyclooxygenase 2 (COX-2) selective inhibitors having at least one oxime group or hydrazone group optionally nitrosated and/or nitrosylated (one class shown as I; variables defined below; e.g. II; 15 other classes of compds. are also described in the 1st claim) and novel compns. and kits comprising at least one I and optionally, at least one compound that donates, transfers or releases nitric oxide, stimulates endogenous synthesis of nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor or is a substrate for nitric oxide synthase, and/or at least one therapeutic agent. The invention also provides methods for treating inflammation, pain and fever; for treating and/or improving the gastrointestinal properties of COX-2 selective inhibitors; for facilitating wound healing; for treating and/or preventing renal and/or respiratory toxicity; for treating and/or preventing other disorders resulting from elevated levels of cyclooxygenase-2; and for improving the cardiovascular profile of COX-2 selective inhibitors. Six examples of I were tested for inhibition of COX-1 and COX-2; e.g. 1-[1-cyclohexyl-3-[1-(hydroxyimino)-4-(nitrooxy)butyl]pyrazol-4-yl]-4-(methylsulfonyl)benzene inhibited COX-1 10 % at 100 μ M and COX-2 100 % at 10 μ M. Although the methods of preparation are not claimed, 6 example preps. are included. For example, II was prepared in 7 steps (79, 68, 84, 79, 51, 84 and 48 % yields, resp.) starting from di-Me oxalate, NaOMe and 4'-(methylthio)acetophenone in toluene and involving intermediates Me (2Z)-2-hydroxy-4-(4-methylthiophenyl)-4-oxobut-2-enoate, Me 5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxylate, N-methoxy-N-methyl-5-(4-methylthiophenyl)-1-phenylpyrazole-3-carboxamide, 1-[5-(4-methylthiophenyl)-1-phenylpyrazol-3-yl]-4-(1,1,2,2-tetramethyl-1-silapropoxy)butan-1-one, 4-hydroxy-1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]butan-1-one, and 1-[5-[4-(methylsulfonyl)phenyl]-1-phenylpyrazol-3-yl]-4-(nitrooxy)butan-1-one. For I: when side b is a double bond, and sides a and c are single bonds, -X1-Y1-Z1- is: -CR4(R5)CR5(R5')CR4(R5)-, -C(O)CR4(R4')CR5(R5')-, -CR4(R4')CR5(R5')C(O)-, -[CR5(R5')]KOC(O)-, etc.; when sides a and c are double bonds and side b is a single bond, -X1-Y1-Z1- is: :CR4OCR5:,

:CR4NR3CR5:, :NSCR4:, :CR4SN:, etc. R1 is S(O)2Me, S(O)2NR8(D1), S(O)2N(D1)C(O)CF3, S(O)(NH)NH(D1), S(O)(NH)N(D1)C(O)CF3, P(O)MeNH(D1), P(O)Me2, C(S)NH(D1), S(O)(NH)Me, P(O)MeOD1, or P(O)MeNH(D1); R1' is H, halo, Me, or CH2OH. R2 is lower alkyl, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, mono, di- or trisubstituted heteroaryl (wherein the heteroaryl is a monocyclic aromatic ring of 5 atoms, said ring having one heteroatom which is S, O, or N, and, optionally, 1-3 addnl. N atoms; or the heteroaryl is a monocyclic ring of 6 atoms, said ring having one heteroatom which is N, and, optionally, 1-4 addnl. N atoms), benzoheteroaryl, NR10R11, SR11, OR11, R11, alkenyl, alkynyl, unsubstituted, mono, di, tri- or tetrasubstituted cycloalkenyl, mono, di, tri- or tetrasubstituted heterocycloalkyl group of 5-7 members, or a benzoheterocycle, wherein said heterocycloalkyl or benzoheterocycle contains 1 or 2 heteroatoms selected from O, S, or N and, optionally, contains a carbonyl group or a sulfonyl group, styryl, mono or disubstituted styryl, phenylacetylene, mono- or disubstituted phenylacetylene, fluoroalkenyl, mono- or disubstituted bicyclic heteroaryl of 8-10 members, containing 2-5 heteroatoms (wherein at least one heteroatom resides on each ring of said bicyclic heteroaryl, said heteroatoms are each independently O, S and N), K, aryl, arylalkyl, cycloalkylalkyl, -C(O)R11, hydrogen, arylalkenyl, arylalkoxy, alkoxy, aryloxy, cycloalkoxy, arylthio, alkylthio, arylalkylthio, or cycloalkylthio. R3 is hydrogen, haloalkyl (preferably CF3), CN, lower alkyl, [C(Re)(Rf)]p-U-V, K, (un)substituted lower alkyl-Q, lower alkyl-O-lower alkyl-Q, etc., Q, alkylcarbonyl, arylcarbonyl, alkylarylcarbonyl, arylalkylcarbonyl, carboxylic ester, carboxamido, cycloalkyl, mono, di- or trisubstituted Ph or naphthyl, alkenyl, alkynyl, arylalkyl, lower alkyl-OD1, alkoxyalkyl, aminoalkyl, lower alkyl-CO2R10, lower alkyl-C(O)NR10(R10'), heterocyclic alkyl, or heterocyclic ring-C(O)-; with the proviso that one oxime or hydrazone group must be present; addnl. details are given in the claims.

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
15.27	176.81

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-2.19	-2.19

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